```
10/775,464
=> d his
     (FILE 'HOME' ENTERED AT 14:08:40 ON 26 MAY 2005)
     FILE 'REGISTRY' ENTERED AT 14:08:44 ON 26 MAY 2005
L1
                STRUCTURE UPLOADED
L2
              9 S L1 SAM
L3
            146 S L1 FULL
     FILE 'CA' ENTERED AT 14:09:09 ON 26 MAY 2005
            13 S L3
L4
     FILE 'MARPAT' ENTERED AT 14:09:36 ON 26 MAY 2005
             44 S L1 FULL
L5
=>
---Logging off of STN---
Executing the logoff script...
=> LOG Y
STN INTERNATIONAL LOGOFF AT 14:10:29 ON 26 MAY 2005
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10/775,464

=> d l1
L1 HAS NO ANSWERS
L1 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.
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=> file ca

=> s 13 L4 13 L3

=> d ibib abs fhitstr 1-13

L4 ANSWER 1 OF 13 CA ACCESSION NUMBER: TITLE:

COPYRIGHT 2005 ACS on STN
141:207071 CA
Preparation of quinoline and chromene urea and
thiourea derivatives as androgen receptor antagonists
DU, Daniel Yunlong: Procter, Martin James: Fyfe,
Hatthew Colin Thor; Shah, Vilasben Kanji; Williams,
Geoffrey Martyn: Schofield, Karen Lesley
Warner-Lambert Company LLC, USA
PCT Int. Appl., 37 pp.
CODEN: PIXXD2
Patent INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

Patent English 1 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE PATENT NO. APPLICATION NO. DATE KIND 2004072044 A2 20040826 WD 2004-18295 20040130
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, RR, BR, BW, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CL, CU, CU, CZ, CZ, DA, DB, DK, DX, BM, DZ, KZ, CE, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GG, GR, GM, HR, RR, HU, HU, ID, LI, IN, IS, LS, LT, LU, LV, MA, HD, HD, MG, MK, MN, MW, MX, MX, MZ, MZ, MA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DX, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, GQ, GW, HL, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, HL, MR, NE, SN, TD, TG
2004266820 A1 20041230 US 2004-775464 20040210
P2004266820 A1 20041230 US 2003-446409F P 200302111 WO 2004072044 WO 2004072044

US 2004-775464 US 2003-446409P US 2004266820

PRIORITY APPLN. INFO.: OTHER SOURCE(S): GI MARPAT 141:207071

L4 ANSWER 2 OF 13 CA ACCESSION NUMBER: TITLE: benzopyranyl-

COPYRIGHT 2005 ACS on STN
139:52981 CA
Synthesis of biologically active thisses—
-triazine derivatives
Mulwad, V. V., Shirodkar, Jyoti M.
Dept. of Chemistry, Institute of Science
032, India
Indian Journal of Chemistry, Section B:
Chemistry Including Medicinal Chemistry
42B(3), 621-626
CODEN: IJSBDB ISSN: 0376-4699
National Institute of Science Communical
Journal
English
CASREACT 139:52981 AUTHOR(S): CORPORATE SOURCE: SOURCE:

PUBLISHER:
DOCUMENT TYPE:
LANGUAGE:
OTHER SOURCE(S):
GI

Several thiazolo-benzopyranyl triazines, e.g. I, were prepared via oxidative cyclisation of aminocoumarins and condensation of the intermediate, e.g. II, with cyanuric chloride and thioureido-benzopyranone and evaluated for their antibacterial activity.

\$\$46144-89-2P\$

RL: BSU (Biological study, unclassified), RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant) or reagent)

or reagent)
(synthesis of thiazolo-benzopyranyl triazines via oxidative cyclisation of aminocoumarins and condensation with cyanuric chloride and thioureido-benzopyranone as antibacterial agents)
546144-89-2 CA
Thiourea, N-[4-chloro-6-[4,9-dimethyl-7-oxo-7H-pyrano[2,3-g]benzothiazol-2-yl]amio]-1,3,5-triazin-2-yl]-N'-[4,7-dimethyl-2-oxo-2H-1-benzopyran-6-yl]- (9CI) (CA INDEX NAME)

ANSWER 1 OF 13 CA COPYRIGHT 2005 ACS on STN

The title compds. I [M = NZ or O; Z = H, alkyl; Rl = H, alkyl, optionally substituted with one or more halogens, or alkoxy, optionally substituted with one or more helogens; R2 = absent or may represent up to 2 substituted to selected from halo, CN, OH, alkyl, alkeyl, alkynyl, alkoxy, etc.; X = O or Sr; A = H, alkyl, alkenyl, alkynyl, etc.; W = O or Sr; A = H, alkyl, alkenyl, alkynyl, etc.] were prepared as androgen receptor antagonists for the treatment of alopecia, scne, oily skin, prostate cancer, hirsutism, and benign prostate hyperplasia. For example, reaction of 6-amino-1-mathyl-4-trifluoromethyl-1H-quinoline-2-one (preparation given) with Ph isocyanate yielded compound II. 743467-59-68
RI: FAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation of urea and thiourea derivs. as androgen receptor antagonists)
RN 743467-59-6 CA
CN Urea, N-[1,2-dihydro-1-methyl-2-oxo-4-(trifluoromethyl)-6-quinolinyl]-N'phenyl- (9CI) (CA INDEX NAME)

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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STAUCTURE
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 13 CA ACCESSION NUMBER: TITLE:

COPYRIGHT 2005 ACS on STN
124:331691 CAS
Synthesis of trioxoperhydroimidazolyl benzopyrones
with hypnotic activity
El-Ansary, S. L.; Soliman, G. A.
Faculty Pharmacy, Cairo University, Cairo, Egypt
Egyptian Journal of Pharmaceutical Sciences (1995),
36(1-6), 219-33
CODEN: EJPSE2; ISSN: 0301-5068
National Information and Documentation Centre
Journal
English AUTHOR (S): CORPORATE SOURCE: SOURCE:

CODEN: EJPSE2, ISSN: 0301-5068

PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English
6-amino-6-hydroxy-4,7-dimethyl-2H-1-benzopyran-2-one and
isocyanates to give the N.N-disubstituted ureas that can be cyclized by
the use of oxalyl chloride to the corresponding imidazolyl-2,4,5-triones.
Some of the synthesized compds. have been screened for CNS depressant and
hypnotic activities. The administration of some of these products at a
dose of 20 mg/kg body-weight showed CNS depressant activity, but in a dose
of

of

ΙT

40 mg/kg body-wt exhibited hypnotic effect. Some derivs inhibit the growth of Salmonella typhi and Escherichia coli. 176913-89-69
RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation of trioxoperhydroimidazolyl benzopyrones with hypnotic activity)

activity) 176913-89-6 CA

Urea, N-butyl-N'-(7-hydroxy-4,8-dimethyl-2-oxo-2H-1-benzopyran-6-yl)-(9CI) (CA INDEX NAME)

ì

COPYRIGHT 2005 ACS on STN
99:149514 CA
Silver halide photosensitive material
Konishiroku Photo Industry Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
Patent
Japanese
1 L4 ANSWER 5 OF 13 CA ACCESSION NUMBER: TITLE: PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE JP 58102936 JP 63013176 PRIORITY APPLN. INFO.: 19830618 19880324 JP 1981-203054 19811215 JP 1981-203054 19811215

T

A Ag halide material for color photog, has ≥1 Ag halide emulsion layer and contains a cyan coupler which is a 5-hydroxy-2(IH)-quinoline or 5-hydroxy-3,4-dihydro-2(IH)-quinoline derivative having a ureido group at AB

5-hydroxy-3,4-dihydro-2(IH)-quinoline derivative having a ureido group at 6 position. These couplers have narrower absorption peaks at wavelengths more suitable for color photog, than known ones. Thus, the coupler I (R = II, RI, R2, R4 = H: R3 = CI: R5 = Me) was added to a Ag(Br,I) emulsion which was then coated on cellulose acetate support. Upon sensitiometric exposure and normal development, the resultant film showed both an improved sensitivity and y value.

87046-94-4
RI: TEM (Technical or engineered material use); USES (Uses) (photog. cyan coupler) 87046-94-4 CA
Benzamide, N-(4-[2,4-bst](1,1-dimethylpropyl)phenoxy)butyl]-2-[[[1,2-dihydro5-5-hydroxy4-astyl-2-oxo-8-[2-oxo-2-(propylamino)ethoxy]-6-quinolinyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)

4 ANSWER 4 OF 13 CA

COPYRIGHT 2005 ACS on STN 102:140692 CA Silver halide photographic material Puji Photo Film Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 12 pp. CODEN: VKCKAF Patent Japanese 1 L4 ANSWER 4 OF 13 ACCESSION NUMBER: TITLE: PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

bleach is used during processing. Thus, a lim concenning agin.c.,
emulsion
containing the cyan coupler I (R = 4-(N,N-di-N-octylaminosulfo)phenyl; Rl =
Cl; A = pyridine ring fused at the 2,3-position) was processed by a
typical color paper formula. The obtained cyan dye had the maximum
absorption at 669 mm and was stable under the conditions of both thermal
fading and light-fading tests.

IT 98651-25-5
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. cyan coupler)
RN 95651-25-5
CA 1-Hexadecanesulfonamide, N-[3-{[[(1,2-dihydro-5-hydroxy-2-oxo-6quinolinyl]amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

ANSWER 5 OF 13 CA COPYRIGHT 2005 ACS OR STN

L4 ANSWER 6 OF 13 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 67:99948 CA Synthesis of potential anticancer agents. XVIII.

AUTHOR(S): Synthesis of potential anticancer agents. XVIII.

Mitrogen mustards from 6-substituted counarins

Eldefield, Robert C., Roy, J.

Journal of Medicinal Chemistry (1967), 10(5), 918-21

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: LANGUAGE: Boplish

GF for diagram(s), see printed CA Issue.

AB cf. CA 61: 11925d. A variety of alkylating agents was prepared with

6-aminocoumarin or counarin-6-carboxylic acid residues as the carrier

moiety. Of these, 6-[3-bis(2-chloroethylamino)propionamido]coumarin (I)

showed some acticity against the Walker 256 carcinosarcoma. II also showed

considerable activity against the Walker 256 carcinosarcoma. II also showed

considerable activity against the Cells in cell culture cytotoxicity and

some activity against leukemia L1210. 29 references.

IT 15991-01-2P

RL BAC (Biological activity or effector, except adverse), BSU (Biological

study, unclassified), SPN (Synthetic preparation), TRU (Therapeutic use),

BIOL (Biological study), PRF (Preparation) USES (Uses)

(preparation and antinopolastic activity of 15991-01-2 CA

CN Coumarin, 6-[3,3-bis(2chloroethyl)ureido]- (8CI) (CA INDEX NAME)

ANSWER 7 OF 13 CA COPYRIGHT 2005 ACS on STN (Continued) 100-2', 81 B, 6, (NRIR2 -) piperidino, 189-90', 78; B, 6, (NRIR2 -) morpholino, 205-6', 87; B, 6, (NRIR2 -) pyrrolidino, 175-7', 36; B, 8, H, Ph, 284-5', 84; B, 8, He, Ph, 234-6', 76; B, 8, Et, Ph, 218-20', 78; B, 8, (NRIR2 -) piperidino, 169-71', 69; B, 8, (NRIR2 -) morpholino, 236-8', 79; B, 8, (NRIR2 -) pyrrolidino, 242-3', 68. 6813-61-7, Coumarin, 6-(3,3-diethylureido)- (preparation of) 6513-61-7 CA
Coumarin, 6-(3,3-diethylureido)- (7CI, 8CI) (CA INDEX NAME)

$$\lim_{E \to 2N-C-NH} \operatorname{C-NH}^{\circ}$$

L4 ANSWER 7 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 65:3893 CA
ORIGINAL REFERENCE NO.: 65:677c-h
COURANT INTIALS:
AUTHOR(S): Rep-pel, L.; Schmollack, W.
CORPORATE SOURCE: Martin Luther Univ., Halle-Wittenberg, Germany
Pharmazia (1965), 21(1), 30-6
CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal
OCUMENT TYPE: Journal
AB cf. CA 63, 8302a. Coumarinylureas were prepared by two methods. Method A:
3-coumarinyl isocymante (1) (0.75 g.) was refluxed 5 min. in 100 ml. 5t
alc. NH3 and the mixture cooled to give 781 3-coumarinylurea, m.
245-6*. Similarly prepared was 778 f-coumarinylurea, in.
326-7*. Method B: II was also prepared (561) by treating 3.2 g.
6-minocoumarin with 2 g. 378 quoeus HCl, a few H. H2O, and 1.6 g. KNCO to
give a crystalline paste. Similarly prepared was 478 8-coumarinylurea
(III), m.
312* (decomposition). III (741) was also prepared by method A, as was 788
6-nitro-3-coumarinylurea, m. 291-3*, and 848 8-nitro-3coumarinylurea, m. 263-5*. I, or its 6- or 8-isomer (0.005 mole),
was dissolved in 50 ml. anhydrous Phwe and the solution refluxed with 0.01
mole
amine 30 min. to give N,N-dislkyl-N'-coumarinylureas (IV) (EtOH). counarinylurea, m. 263-5'. I, or its 6- or 8-isomer (0.005 mole), was dissolved in 50 ml. anhydrous PhMe and the solution refluxed with 0.01 amine 30 min. to give N,N-dielkyl-N'-counarinylureas (IV) (EtOH). Arcomatic or cyclic amine (0.005 mole) heated with 0.005 mole I in 50 ml. PhMe 2.5 hrs. at 120° also gave IV. The N-phenyl-N'-counarinylureas could also be prepared from the aminocounarins and PhNCO. The following IV were prepared (mathod, urea-chain position, R1, R2, m.p., and t yield given): A, 3, Me, Me, 182-4', 66, A, 3, Et, Et, 76-8', 83 A, 3, Pr. Pr., 43-5', 41, A, 3, Bu, Bu, 37-9', 431 A, 3, iso-Pr., iso-Pr., 99-100', 837 A, 3, Et, Et, 119-20', 79; A, 6, Fr, Pr., 141-2', 76; A, 6, Bu, Bu, 72-4', 68; A, 6, iso-Bu, iso-Bu, 65-4', 731 A, 8, He, Me, 143-5', 76; A, 6, Et, Et, 150-Bu, 153-4', 731 A, 8, He, Me, 143-5', 76; A, 8, Et, Et, 59-60', 65; A, 8, Pr. Pr., 181-2', 84; A, 8, iso-Pr, iso-Pr, 102-8'; NRIRZ =) piesidino, 105-7', 78; B, 3, (NRIRZ =) morpholino, 215-17', 83; B, 3, (NRIRZ) pyrrolidino, 179-80', 66; B, 6, H, Ph, 22-31', 81; B, 6, Me, Ph, 140-2', 92; B, 6, Et, Ph, 113-14', 89; B, 6, (NRIRZ =) piperidino, 204-6', 82; B, 6, (NRIRZ =) morpholino, 204-6', 82; B, 6, (NRIRZ =) piperidino, 204-6', 85; B, 8, M, Ph, 169-70', 79; B, 8, Et, Ph, 132-3', 83; B, 8, (NRIRZ =) piperidino, 204-6', 87; B, 8, (NRIRZ =) prorolidino, 127-9', 68. The following nitro-3-counarinylureas were similarly prepared (method, position of No2 group, R1, R2, m.p., and 4 yield given): A, 6, Me, Me, 191-3', 72; A, 6, Et, Et, 194-6', 85; A, 6, (A, Me, Me, Me, 191-3', 72; A, 6, Et, Et, 194-6', 85; A, 6, (A, Me, Me, Me, 191-3', 72; A, 6, Et, Et, 194-6', 85; A, 6, (A, Me, Me, Me, Me, 20-9-9', 81, A, 6, Et, Et, 154-5', 74; B, 6, H, Ph, 136-14', 68; B, 6, Me, Ph, 169-10', 79; B, 6, Et, Ph, 138-14', 68; B, 6, Me, Ph, 169-10', 79; B, 6, Et,

ACCESSION NUMBER:

63:62889 CAS on STN
ACCESSION NUMBER:
63:62889 CAS on STN
63:614824-9
ITITLE:
New synthesis of organic iodo derivatives.
4-Hydroxycoumarin and related products
(CORPORATE SOURCE:
CORPORATE SOURCE:
Univ. Naples
COUNCE:
Annali di Chimica (Rome, Italy) (1965), 55(3), 239-52
CODEN: ANCRAI ISSN: 0003-4592
JOURNAI
DOCUMENT TYPE:
LANGUAGE:
Lalian
GI For diagram(s), see printed CA Issue.
Ab cf. CA 60, 13217c. New iodo derivs. similar in structure to dicoumarol, biologically active, were prepared Thus, 2.9 g. 4-hydroxy-6-iodocoumarin (I), 50 ml. alc., san 100 ml. 0.IN NaOH was kept with 6 hrs. with 1.5 g. C12CHCO2Et to give 2.7 g. II (R = CO2Et), m. 249-50°. I (0.01 mole) in 50 ml. alc. was kept S hrs. with methylglyoxal to give 76% II (R yield, and mp. given): (CH2) ZSMe, 75, Z50-17 2-furyl, 55, 231-37; 1-naphthyl, 74, 210-12°. Also prepared were the following III (R, % 100 might) is me data given): CO2Et, 87, Z82-2.5°, Ac, 79, 255°; (CA2) ZSMe, 82, 277-8°; 2-furyl, 66, 275-6°; 1-naphthyl, 78, 214-15°. I (0.01 mole) and 1 g. In powder mixed and treated with 32 ml. SOC12 gave 83% IV, m. 300-17 (decomposition). Similarly prepared was 76 V, m. 352-5° (decomposition). 4-Hydroxy coumarin (16.2 g.) in 100 ml. 20% aqueous NH3 was treated with 25.3 g. solution
(prepared from 0.1 mole iodine, 50 g. KI, and 200 ml. HZO) and the

SOLUTION (prepared from 0.1 mole iodine, 50 g. KI, and 200 ml. H20) and the precipitate

ipitate
worked up to give 75% 3-iodo-to 4-hydroxycoumarin, m. 152-3*
(decomposition). Similarly prepared was the 6-iodo derivative, m. 200-2*
(decomposition), in 82% yield and the 3,6,8-triiodo analog, m. 274-5*
(decomposition), in 85% yield.
3287-30-7, Coumarin, 7-hydroxy-6-[3-(o-methoxyphenyl)-2thioureido]-4-methyl(preparation of)
3287-30-7 CA
Coumarin, 7-hydroxy-6-[3-(o-methoxyphenyl)-2-thioureido]-4-methyl(CX INDEX NAME)



L4 ANSWER 9 OF 13 CA
ACCESSION NUMBER:

63:16288 CA
GORIGINAL REFREENCE NO:
63:11682c-d
fille:
Thioureas from 6-amino- and 8-amino-7-hydroxy-4methylcounarins
AUTHOR(S):
CORPORATE SOURCE:
SURCE:
J. Indian Chem. Soc. (1965), 42(6), 423-4
JOURNAL
LANGUAGE:
J. Indian Chem. Soc. (1965), 42(6), 423-4
JOURNAL
LANGUAGE:
J. Indian Chem. Soc. (1965), 42(6), 423-4
JOURNAL
AB The title compds. (I, II) which combine the pharmacologically active
coumarin and thourea moieties, were prepared by refluxing equimolar amts.
of aminocoumarin and arylthiourea. Prepared were (R, parent compound, m.p.
(uncor.) given: Ph, I, 190', Ph, II, 300', 2-CH3C6H4, I,
185'; 2-CH3C6H4, II, 257', 3-CH3C6H4, II, 270',
3-CH3C6H4, II, 268', 4-CH3C6H4, II, 192',
4-CICGH4, II, 170', 4-CICGH4, II, >300', 2-CH3GCGH4, II,
230', 2-CH3CCGH4, II, 280',
1T 3287-30-7, Coumarin, 7-hydroxy-6-[3-(o-methoxyphenyl)-2thioureido]-4-methyl(preparation of)
RN 3287-30-7 CA
COumarin, 7-hydroxy-6-[3-(o-methoxyphenyl)-2-thioureido]-4-methyl(CA INDEX NAME)

ANSVER 10 OF 13 CA COPYRIGHT 2005 ACS on STN (Continued)
219-22', Ph., 2,5,4-He2(iso-Pro)CGH2, 178-80', Ph.,
2-methyl-4-(2,4,6-trimethylphenoxy)phenyl, 230-3', Ph.,
2,4-He(iso-Pro)CGH3, 190-2', Ph., 2,4,6,3-He3(MeO)CGH,
310-2', Ph., 2,4,6,3-Me3(iso-Pro)CGH, 135-7' (iso-PrOH);
2-MeCGH4, 2,4,6-Me3CGH2, 160-1' (ECDAC-petr. ether), 2-HeCGH4,
2,4-Me2CGH3, 135-6' (EZZO-petr. ether), 2,4-Me2CGH3, 2,4-Me2CGH3,
127-9' (ECDAC-petr. ether), 3-MeCGH4, 2,4,6-Me3CGH2, 150-1'
(MeOH), 2,4-Me(MeO)CGH3, 2,4,6-Me3CGH2, 133-5', 4-CLCGH4,
4,2,5-C1(Meo)2CGH2, 243-5' (sq. MCOMMe2), Ph., 2,5-(MeO)2CGH3,
196-8', Ph., 2,4-Me2CGH3, 188-9', Ph., 2,4,6-Me3CGH2,
153-4', β-naphthyl, 2,5,4-Me2(PhO)CGH2, 184-6' (MeOH),
β-naphthyl, 2,4,6-Me3CGH2, 2503' (HCOMMe2-REOH),
P-naphthyl, 2,4,6-Me3CGH2, 2503' (HCOMMe2-REOH),
P-naphthyl, 2,4,6-Me3CGH2, 2503' (HCOMMe2-REOH),
P-naphthyl, 2,4,6-Me3CGH2, 2503' (HCOMMe2-REOH),
P-naphthyl, 2,4,6-Me3CGH2, 2503' (HCOMMe2-REOH),
P-naphthyl-1,3,5-dioxo-1,2,4-triazolidin-4-yl)-3methoxydiphenylene oxide, m. 268-70', and 6-(1-phenyl-3,5-dioxo-1,2,4-triazolidin-4-yl)-3methoxydiphenylene oxide, m. 268-70', and 6-(1-phenyl-1-3,4-triazolidin-4-yl)-3methoxydiphenylene oxide, m. 268-70', and 6-(1-phenyl-1-3,3-diox

L4 ANSWER 10 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
ORIGINAL REFERENCE NO.:
ORIGINAL REF PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

15153759 19630905 DE 19600720 GB

1989627 1965 US

For diagram(s), see printed CA Issue.

A series of 3,5-dioxo-1,2,4-triazolidines (I), where R and R' are aryl groups, was prepared PhN(COZETINKOCOL (II) (34 g.), 19 g. 2,4,5-He3CGH2NH2, and 17 g. He2NPh in 200 mL. KtOH heated 1 h. at 50-60° and the resulting solution of PhN(COZETINKCONCEGREVENS)-2,4,5- heated 2 h. at 50-80° with 200 mL. 2N NaOH gave 37.5 g. lphenyl-4-(2,4,5-trimethylphenyl)-3,5-dioxo-1,2,4-triazolidine, m. 205-65° (KLOH), converted to the Na salt with NaOMe. Similarly were prepared the following I (R, R', and m.p. given, resp. (compds. recrystd. from EtOH unless otherwise given); Ph. 2,4-ClMsCGH3, 165-57; Ph, 2-propyl-4,5-methylenedioxyphenyl 160-2° (EtOAc-petr.ether); Ph, 2,4,5-ClMsCCH2, 220-2°; Ph, 4,2,5-He2(OZN)CGH2, 237-9°; Ph, 5,2,4-HeC12CGH2, 212-0°; Ph, 2,4-ClMsCGH3, 175-6°; Ph, 2,5-(ECCH2, 212-0°), Ph, 2-methyl-4-cyclohexylphenyl, 215-17°; Ph, 4,2,5-iso-PrC12CGH2, 211-13°; Ph, 2,5-(ECCH3, 124-6° (aqueous EtOH); Ph, 4,2,5-(ECCH2, 218-9°); Ph, 4,5,2-CHE(MeO)CGH3, 175-6°; Ph, 2,4-6,2-6°; Ph, 2,4-6; Ph, 2,5-He2(MeO)CGH3, 133-6°; Ph, 4,2,5-Ph0(MeO)CGH3, 133-6°; Ph, 4,2,5-Ph0(MeO)CGH3, 133-6°; Ph, 2,4-6; Ph, 2,5-He2(DCGH3, 133-6°; Ph, 2,4-6; Ph, 2,5-Ph0(MeO)CGH3, 135-6°; Ph, 2,4-Cl(EUC)CGH3, 173-6°; Ph, 2,4-Cl(EUC)CGH3,

ACCESSION NUMBER: 57:36036 CA
ORIGINAL REFERENCE NO.: 57:71380-e
TITLE: Thermochemical studies of some alcohol-isocyanate reactions.
ACTENDRATE SOURCE: Lovering, Edward G.; Laidler, Keith J.
CORPORATE SOURCE: Univ. Octawa
SOURCE: Canadian Journal of Chemistry (1962), 40, 26-30
COUNDENT TYPE: Journal
LANGUAGE: Unavailable
AB n-, iso-, and sec-Buoif were treated with PhNCO, the three tolyl isocyanates, and 2,4-tolylene diisocyanate, and the n.p.s. of the resulting 15 urethanse recorded. The heats of reaction were measured at 25' using a differential calorimeter of the Tian-Calvert type.
From a consideration of substituent effects, the heat of reaction and therefore the stability of the resulting urethanes, was expected to decrease in the order n-> iso-> sec-alcs. for each isocyanate. For each alc., the heats of reaction were expected to decrease in the order n-> iso-> sec-alcs. for each isocyanate. For each alc., the heats of reaction were expected to decrease in the order n-> tolyl isocyanate ver liquid at 25' and could not be compared with the others. From bond energy considerations, the heat of formation of PhNCO/(liquid, 25') was estimated as 3.5 kcal./ mole and that of the tolyl isocyanates (liquid, 25') as -5.3 kcal./mole.

17 96009-12-0, Coumarin, 6-(2-thio-3-tritylureido)(preparation of)
RN 96809-12-0 CA
CN Coumarin, 6-(2-thio-3-tritylureido)- (7CI) (CA INDEX NAME)

L4 ANSWER 12 OF 13 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 57;36035 CA
ORIGINAL REFERENCE NO.: 57:7138b-c
Synthesis of thioureidotriphenylmethanes
SURCE: Synthesis of thioureidotriphenylmethanes
SURCE: Synthesis of thioureidotriphenylmethanes
SOURCE: Synthesis of thioureidotriphenylmethanes
SOURCE: Synthesis of thioureidotriphenylmethanes
SOURCE: St. Xavier's Coll. A hamedabad, India
COMPONATE SURCE: St. Xavier's COll. A hamedabad, India
COMPONATE SURCENT ISSN: 0011-3891
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The following Ph3CNECSHMR, derived from the condensation of Ph3CNCS with
different amines, were prepared (R and m.p. given): Ph, 82',
p-MeCGH4, 156-8', p-MeOCGH4, 152-3', o-MeoCGH4, 162',
a-C10H7, 80', P-G1UH7, 157-8', p-McSCGH4,
80', p-Me2NGGH4, 80', PhNN, 130', HOZCCH2,
130', 6-coumaryl, 76-7', Ph2N, 130',
(preparation of)
RN 96809-12-0, Coumarin, 6-(2-thio-3-tritylureido) (preparation of)
RN 96809-12-0 CA
CN Coumarin, 6-(2-thio-3-tritylureido) - (7CI) (CA INDEX NAME)

L4 ANSWER 13 OF 13 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: S5:33063 CA

ORIGINAL REFERENCE NO.: S5:6475f-9

TITLE: Synthesis of coumarylthioureas

AUTHOR(S): Satpanthi, P. S., Trivedi, J. P.

CORPORATE SOURCE: St. Xavier's Coll., Ahmedabad

CUTTENT Science (1960), 29, 346

CODEN: CUSCAM, ISSN: 0011-3891

DOCUMENT TYPE: Journal

LANCUAGE: Unavailable

AB Coumarin was nitrated and reduced to give 6-aminocoumarin, which was condensed with NRHCSNH2 by the method of Buu-Hoi, et al. (CA 50, 3406i), to give N'-(6-coumarinyl)-substituted thiourea (substituent and m.p. given): Ph, 168°, p-HeCGH4, 134-5°, o-ClCGH4, 170°, m-ClCGH4, 245° (decomposition), o-HeCGH4, 170°, p-ClCGH4, 135°, p-CsH330CGH4, 111°, PhCHA:, 160°, PhCO, 190°, PhCH2, 185-6°, o-ClCGH4CH2, 200°, p-ClCGH4CH2, 201°, p-BCGH4CH2, 174°, 2,4-Me2CGH3CH2, 196°, m-MeCGH4CH2, 174°, 2,4-Me2CGH3CH2, 190°, 2,5-Me2CGH3CH2, 188°.

II 01444-67-1, Coumarin, 6-(2-thio-3-p-tolylureido)- (preparation of)

RN 101444-67-1 CA

CN Coumarin, 6-(2-thio-3-p-tolylureido)- (6CI) (CA INDEX NAME)

=> file marpat

=> s l1 full

L5 44 SEA SSS FUL L1

=> d ibib abs fqhit 1-44

L5 ANSWER 1 OF 44
ACCESSION NUMBER:

TITLE:

Preparation of pyrimidine derivatives as modulators of ATP-binding cassette transporters

HAKINGS, Levis R., Singh, Ashvani K., Miller, Hark T.,
Hadida Ruah, Sarah S., Grootenhuis, Peter, Hamilton,
Matthew, Hazelwood, Anna R., Huang, Liming

Vertex Pharmaceuticals Incorporated, USA
PCT Int. Appl., 432 pp.

CODEN: PIXXD2

POCUMENT TYPE:

Patent

DOCUMENT TYPE: Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. 2004111014 A1 20041223 W0 2004-US17673 20040604
W: AE, AG, AL, AM, AT, AU, AZ, EA, BB, BG, BR, EW, BY, BZ, CA, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MY, MX, MZ, NA, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TH, TH, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, RW; EW, GH, GH, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AZ, EY, KG, KZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, SN, TD, TG APPLICATION NO. DATE KIND DATE WO 2004111014 20040607 20030606 20030904 20031114 20040604 2004-862909 2003-476698P 2003-500132P 2003-520181P 2004-US17673 A1 20050317 US 2005059687 PRIORITY APPLN. INFO.:

GI

AB The present invention relates to compds. I [G1 = O, RA, ORA, SRA, NRARB (wherein RA, RB = VRY, or NRARB = (un)substituted 3-12 membered (un)saturated monocyclic or bicyclic ring having 0-4 heterostoms selected from N. O.

saturated monocyclic or bicyclic ring having 0-4 heteroatoms selected from N, O, or so, V = a bond, alkylidene wherein up to two methylene units of V are optionally replaced by CO, CS, COCO, etc.; RV = halo, NO2, CN, etc.); R1 = absent, YRY (Y = a bond, alkylidene wherein up to two methylene units of Y are optionally replaced by CO, O, S, etc.; RY = halo, NO2, CN, etc.); R2,

ANSWER 1 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Con-or pharmaceutically acceptable salts substitution is restricted heteroatom functional group interruptions also claimed

REFERENCE COUNT:

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 1 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
R3 = TR2, or R2 and R3, taken together, form (un)substituted 5-6 membered monocyclic aryl having 0-5-beteroatoms selected from N, O, or S, 5-6 membered (un)satd. monocyclic ring having 0-3 heteroatoms selected from N, O, or S (T = a bond, alkylidene wherein up to two methylene units of T are optionally replaced by CO, CS, COCO, etc. R2 = halo, NO2, CN, etc.); L = 62863Arl (62, G3 = absent, alkylidene wherein up to two methylene units are optionally replaced by CO, CS, SO, etc.) B = absent, (un)substituted aryl, heteroaryl, cycloalkyl, etc.; Arl = absent, (un)substituted 3-8 membered (un)satd. monocyclic ring having 0-3 heteroatoms, 8-12 membered (un)satd. bicyclic ring having 0-5 heteroatoms) las modulators of ATP-Binding Cassette ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Regulator ("CFTR"), compns. thereof, and methods therevith. E. g., a multi-step synthesis of the quinazoline II, is described. The compds. I are useful as modulators of ATP binding cassette transporters (the ECS) and relative efficacy for 405 compds. I we given). The present invention also relates to methods of treating ABC transporter mediated diseases such as cystic fibrosis using the modulators I.

- 499

- 536-533 537-143 G22

- 539-532 541-534 G23

- (1-4) CH2 (50) claim 1

L5 ANSWER 2 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:350049 MARPAT
TITLE: Preparation of (hetero) arylurea derivatives as deformylase inhibitors with antibacterial activity Lee, Bong-Jun Lee, Seung-Kyur Choi, Kwang-Hyun Lee, Sang-Jas Cource: Promeditech Inc., S. Xorea
SOURCE: Promeditech Inc., S. Xorea
PCT Int. Appl., 64 pp.
COUNT TYPE: Patent
LANGUAGE: Patent
LANGUAGE: Patent
English
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WC 2004087643 A1 20041014 WC 2004-KR502 20040311

W: AE, AG, AL, AN, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GH, HR, HU, ID, II, IN, IS, JP, XE, KG, XP, KZ, LC, LX, LX, LS, LT, LU, LV, MA, ND, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SX, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VV, VU, ZA, ZA, ZW

RY: EW, GH, GH, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KC, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, FB, BJ, CF, CG, CI, CM, GA, GN, GG, GW, ML, MR, NE, SN, TD, TC

PRIORITY APPLM INPO:

AB The title compds. HONHCOCH2N(R1) CCCK(R2) NHCOMHX (1) (R1 = C1 to C6 alkyl, or C1 to C2 alkyl substituted with C3 to C6 cytoalkyl group R2 = C1 to C6 alkyl, X = Ph, etc.) are prepared The title deformylase inhibitors effectively act against a broad spectrum of bacteria, including bacteria with resistance to existing antibacterial agents. A process for preparing I is disclosed. Thus, 1-((S)-1-(N-((k)vdroxycarbamoyl))methyl)-N-butylcarbamoyl)-2, 2-dimethylpropyl)-3-(3-chlorophenyl)urea (IT) was prepared in a multistep process starting from elycine Et ester hydrochloride and 1-bromobutane. II in vitro showed ICSO of 28 MM against deformylase.

= quinolinyl (SO (1-3) G4)

NTE: NTE: also incorporates claims 5, 6, and 7 or pharmaceutically acceptable salts

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS L5 ANSWER 2 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued) RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

The title compds. I $[M=NZ \text{ or } 0; Z=H, \text{ alkyl}; Rl=H, \text{ alkyl}, \text{ optionally substituted with one or more halogens, or alkoxy, optionally substituted with one or more halogens, <math>RZ=\text{absent or may represent up to } 2$ substituents selected from halo, CN, CN, alkyl, alkyn, alkyn, alkynyl, alkynyl, etc., X=0 or Sr, A=H, alkyl, alkyn, alkynyl, etc., were prepared as androgen receptor antagonists for the treatment of alopecia, acre, oily skin, prostate cancer, hirsutism, and benign prostate hyperplasia. For example, reaction of 6-mainol-lenethyl-4-trifluoromethyl-IH-quinoline-2-one (preparation given) with Ph isocyanate yielded compound II.

alkyl<(1-8)>

L5 ANSWER 3 OF 44
ACCESSION NUMBER:
TITLE:
Preparation of quinoline and chromene urea and thiourea derivatives as androgen receptor antagonists
DU, Daniel Yunlong; Procter, Hartin James, Fyfe,
Hatther Colin Thor; Schofield, Karen Lesley
Warner-Lambert Company LLC, USA
PATENT TYPE:
DOCUMENT TYPE:
DOCUMENT TYPE:
PATENT INFORMATION:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

HARPAT COPYRIGHT 2005 ACS on STN
141:207071 MARPAT
Proparation of quinoline and chromene urea and thiourea derivatives as androgen receptor antagonists
DU, Daniel Yunlong; Procter, Hartin James, Fyfe,
Hatther Colin Thor; Schofield, Karen Lesley
Warner-Lambert Company LLC, USA
CODEN: PIXXXI2
PATENT INFORMATION:
English
TYPE:
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2004072044 A2 20040826 WO 2004-1B295 20040130

WI AE, AE, AG, AL, AL, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DH, DZ, EC, EC, EE, EE, EG, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KR, KR, KZ, KZ, KZ, LZ, LZ, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MK, MX, MZ, MZ, NA, NI

RW: EW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, GH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MG, NL, FT, RO, SE, SI, SK, IR, BF, BJ, CF, CG, CI, CH, GA, GM, GQ, GW, ML, MR, NS, SN, TD, TG, BF, BJ, CF, CG, CI, CH, GA, GM, GQ, GW, ML, MR, SN, TD, TG, BF, BJ, CF, CG, CI, CH, GA, GM, GQ, GW, ML, MR, SN, TD, TG

PRIORITY APPLN. INFO.:

GI PATENT NO. KIND DATE APPLICATION NO. DATE

L5 ANSWER 4 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 141:123624 MARPAT

TITLE: Paperation of cardiotonic compounds with inhibitory activity against B-adrenergic receptors and phosphodiesterase Hamilton, Gregory S., Leighton, Harry Jefferson Artesian Therapeutics, Inc., USA PCT Int. Appl., 36 pp.

COURST TYPE: Patent

EMBILY ACC. NUM. COUNT: 1

English ...

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

Ar (OCH2) nCH(OH)NRILX [I, n = 0, l; Ar = (un) substituted aryl, heteroaryl; RI = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl; L = alkylene, heteroalkylene; X = N heterocyclic| were prepared for use as inhibitors of p-adrenergic receptors and phosphodiesterase (PDB), including PDE-3 (no data). Thus, the indiazolone II was prepared from 4-PhcH2CGCH4CC2H by reaction with 4-methyl-2-imidazolone, debenylation, reaction with BrCH2CO2Et and 2-NCCCH4CCH2CH(OH)CH2CH2CH2H2. Pharmaceutical compasare also claimed. I are useful for regulating calcium homeostasis, for treating a disease, disorder or condition in which disregulation of calcium homeostasis is implicated and for treating cardiovascular disease, stroke, epilepsy, an ophthalmic disorder or migraine.

ANSWER 4 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

G6

38⁸€

- NH - Ak<EC (1-11) C, BD (0-) D (0-) T> (SO OH)

MPL: NTE: NTE: substitution is restricted additional substitution also claimed

ANSWER 5 OF 44 MARPAT COPYRIGHT 2005 ACS OD STN (Continued)

Title compds. I [R1-5 = H, alk(en/yn)yl, cycloalkyl, heterocyclyl, etc., R6 = H, alkyl, alkoxy, R7 = H, alkyl, R8 = H, alkyl, R9 = alk(en/yn)yl, (heterolaryl, etc., R10 = H, alkyl, R11-13 = H, (cyclo)alkyl, alkenyl, alkynyl, (heterolaryl, etc., p = 0-4] are prepared For instance, the di-Me ketal of 4-hydroxy-3-hydroxymethyl-α-bromoscetophenone (preparation given) is reacted with 4-bromophenethylamine (CH2C12, EtXN) followed by 4,4'-dimethoxychlorodiphenylamine and subsequently reduced (THF, NaRH4). The resulting protected amino alc. is then coupled with N-(4-heptyl-6-methyl-2-pyrimidinyl)sulfanilamide (PhMe, dpf, Pd2dba3, 80°, 5 h) and then deprotected with HOAc (80°, 5 h) to give 11. All of the compds. tested demonstrated greater binding at the β2 adrenergic receptor than at the β1 adrenergic receptor, i.e., K(β1) x M(β2); many with a selectivity greater than 20. I are useful for the treatment of pulmonary diseases.

L5 ANSWER 5 OF 44 HARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
ITITLE:
INVENTOR(5):
PATENT ASSIGNEE(5):
SOURCE:
COURT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
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FATENT INFORMATION:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NU

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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| US | 2003 | 2290 | 58 | A | 1 | 2003 | 1211 | | U | 5 20 | 03-4 | 3176 | 2 | 2003 | 0508 | | |
| US | 6670 | 376 | | В | 1 | 2003 | 1230 | | U | 5 20 | 02+2 | 9283 | 5 | 2002 | 1112 | | |
| US | 2004 | 0591 | 16 | A | 1 | 2004 | 0325 | | Ü | 5 20 | 03-6 | 4292 | 6 | 2003 | 0818 | | |
| US | 2004 | 0637 | 5.5 | A | 1 | 2004 | 0401 | | - 11 | 5 20 | 03-6 | 4319 | 6 | 2003 | 0818 | | |
| | 2005 | | | | | | | | | | | | | 2004 | | | |
| | V: | | | | | AT, | | | BA. | BB. | BG. | BR. | BW. | BY. | BZ. | CA. | CH. |
| | | | | | | cz. | | | | | | | | | | | |
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| | | | | | | PH, | | | | | | | | | | | |
| | | ΤJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM. | ZW, | AM, |
| | | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, |
| | | | | | | GB, | | | | | | | | | | | |
| | | | | | | BJ, | | | | | | | | | | | |
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SN, TD, TG PRIORITY APPLN. INFO.:

US 2001-338194P 20011113 US 2001-343771P 20011228 US 2002-292835 20021112 US 2002-292211 20021112 US 2003-431762 20030508

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L5 ANSWER 5 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

114 C(0)-G24

claim 1 or pharmaceutically acceptable salts and solvates additional substitution also claimed or stereoisomers

L5 ANSWER 6 OF 44
ACCESSION NUMBER:
139:364692 MARPAT
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139:364692 MARPAT
149:364692 MARPAT
149:3

DOCUMENT TYPE: Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 2003203941
PRIORITY APPLN. INFO.: US 2003-408912 20030408 US 2002-371540P 20020410 A1 20031030

The title compds. [I; Y = O, S, N, C:C, C:N; RI = SO2CF3, SO2Ar, SO2Me, CONH2, etc.; Ar = (un)substituted Ph, naphthyl, quinolyl; R2, R3 = H, halo, OH, etc.; R4 = H, halo, alkows; A = a bond, divalent group such as (un)substituted imidazole, thiazole, oxazole, etc.; B = CH2, CH2CHR5, CH8CH2, CH89R10; R5, R9, R10 = alkyl, F, H] that are useful in treating metabolic disorders mediated by insulin resistance or hyperglycemia, were prepared E.g., a 3-step synthesis of II (starting from 3-(2-hydroxyethyl)phenylamine and 4-bromobenzyl chloride) which showed 34% reduction [day 3 (6 h) p.o.] in plasma glucose at 5 mg/kg, was given. Pharmaceutical composition comprising the compound I is claimed.

MSTR 1

L5 ANSWER 7 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
TITLE: 139:333102 MARPAT Copyright compounds and methods for the treatment of neopleatic disease
INVENTOR(S): Erskine, Symon G., Gwynn, Michael/ Pearson, Neil David, Wilding, Edwins Imoge
PATENT ASSIGNEE(S): SmithKline Beecham P.L.C.
U.S. Pat. Appl. Publ., 20 pp., Division of U.S. Ser. No. 912,483.
CODEN: USXXCO
DOCUMENT TYPE: Patent English
FAMILY ACC. NUM. COUNT: 1
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

A1 20031030 B1 20041012 APPLICATION NO. DATE US 2003203917 US 6803369 PRIORITY APPLN. INFO.:

US 2003203917 Al 20031030 US 2003-441435 20030520
US 6803369 Bl 20041012 US 2001-912483 20010725
DRITY APPLN. INFO.: US 2001-912483 20010725
US 2000-220635P 20000725
A method of modulating the activity of a aberrant cell topoisomerase enzyme involving contacting the enzyme with a compound that inhibits enzyme-mediated cleavage of a polynuclectide substrate with which the enzyme is in complex. Pharmaceutical compons. containing such compods. may be used to treat neoplasias or to inhibit the growth of certain cancer cells. Screening methods can be employed to identify other compods. for these uses. SB366676-AY (prepared from 6-methoxyquinoline-4-carboxylic acid) formed a stable ternary complex with DNA gyrase and pBR322 DNA. Compds. of the invention did not induce DNA cleavage.

- 358-1 363-3 365-176

L5 ANSWER 6 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G1-G2-GH2-Q-G3-G4-NH-G5

- phenylene (SO (1-) G11) - 11-7 12-10

19 (0) 12H

- quinolinyl (50 (1-2) G14) - OH claim 1

or pharmaceutically acceptable salts

ANSWER 7 OF 44 MARRAT COPYRIGHT 2005 ACS on STN
- Ak<EC (2-) C, BD (0-) D (0) T> (SO (1-) G27)
: claim 1
: substitution is restricted
: additional ring formation also claimed

LS ANSWER 8 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

TITLE:

Heteroaromatic ureas as vanilloid receptor (VR1)
modulators, in particular antagonists, for treating
pain and/or inflammation

Brown, Rebecca Elizabeth Doughty, Victoria Alexandra,
Hollingworth, Gregory John Jones, A. Brian; Lindon,
Matthew John Moyes, Christopher Richard; Rogers,
Lauren

PATENT ASSIGNEE(S):

SOURCE:

PATENT TYPE:

PAULITY ACC. NUM. COUNT:

FAMILY ACC. NUM. COUNT:

FAMILY ACC. NUM. COUNT:

English

English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | PA: | TENT | NO. | | KI | ND | DATE | | | A | PPLI | CATI | ON N | ٥. | DATE | | | |
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| | | | | | | | | | | _ | | | | | | | | |
| | WO | 2003 | 0805 | 78 | А | 1 | 2003 | 1002 | | W | 0 20 | 03-G | B130 | 2 | 2003 | 0321 | | |
| | | W: | AE. | AG. | AL. | AM, | AT, | AU. | AZ. | BA, | BB. | BG, | BR. | BY, | BZ. | CA. | CH, | CN. |
| | | | co. | CR. | CU. | cz. | DE. | DK. | DM. | DZ. | EC. | EE. | ES. | FI. | GB, | GD. | GE. | GH. |
| | | | | | | | | | | | | | | | LC, | | | |
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| | | | | | | | VC, | | | | | | | | | | | |
| | | RW: | | | | | | | | | | | | | ZW, | | | |
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| | | | FI. | FR, | GB, | GR, | HU, | IE. | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR. |
| | | | BF. | BJ. | CF. | CG, | CI. | CM. | GA. | GN, | GQ. | GW. | ML, | MR, | NE, | SN, | TD, | TG |
| | CA | 2479 | 150 | | À | Α . | 2003 | 1002 | | Ċ | A 20 | 03-2 | 4791 | 50 . | 2003 | 0321 | | |
| | | 1490 | | | | | | | | | | | | | | | | |
| | | | | | | | | | | | | | | | NL, | | | PT. |
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| | US | 2005 | | | | | | | | | | | | | | | | |
| DD T O | | | | | | | | | | | | | | | 2002 | | | |
| FAIO | RITY APPLN. IN | | | | • • | | | | | | | | | | 2003 | | | |
| | | | | | | | | | | | 0 20 | UJ-G. | 5130 | - | 2003 | 0521 | | |
| GI | | | | | | | | | | | | | | | | | | |

Title compds. I [wherein A, B, D, E are each C or N with the proviso that one or more are N; R1, R2 = independently H, halo, alk(enyl/ynyl), haloalkyl, hydroxyalkyl, cycloalkyl, cycloalkylalkyl, NH2 and derivs., AB

I

L5 ANSWER 8 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued) ANSWER 8 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
CO2M and derivs., (un) substituted alkyl, alkomyr R3, R4 = independently H, alk(en/yn)ylr R5, R6 = at each occurrence, independently H, alk(en/yn)ylr, R5, R6 = at each occurrence, independently H, sul(eny/ynyl), alkowy, acylowy, carbowy and derivs., COWHZ and derivs., sulfonyl(alkyl/maino), aryl, hetero(aryl/cyclyl), (un) substituted alkyl; or CRSR6 = 3-6 carbocyclic membered ring; R7, R8 = at each occurrence, independently H, alk(en/yn)yl, cycloslkyl, fluoroslkyl; or NRTR8 = (un) substituted 4-7 heteroaliph. membered ring; K = 0, S or =NCH; Y = aryl, heteroaryl, carbocyclyl, fused carbocyclyl group; n = 0, 1, 2, 3; and their pharmaceutically acceptable salts, N-oxides, and prodrugs) were prepd, as vanilloid receptor (VR1) modulators, in particular antagonists, for treating conditions or diseases in which pain and/or inflammation predominates. For example, 1-isoquinolin-5-yl-3-(3-phenylpropyl)urea was prepd. by reacting isoquinolin-5-carboxylic acid with diphenylphosphoryl azide in toluene at reflux for 1 h through a Curtius rearrangement, followed by addn. of 3-phenylpropylanine and reflux for 18 h. 1 bound to the VR1 receptor with an ICSO < 1 µM, and in the majority of cases, < 200 nM. 1 are predominantly VR1 antagonists with a few of them VR1 partial antagonists and VR1 partial agonists. Thus, I and their pharmaceutical compns. are useful for treating pain and/or inflammation.

--G2 48-

MPL: NTE: NTE: NTE: claim 1 substitution is restricted or pharmaceutically acceptable salts, N- or S-oxides, or prodrugs additional ring formation also claimed

REFERENCE COUNT:

20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:191453 MARPAT
TITLE: 139:191453 MARPAT
Thiophosphate analogs as steroid sulfatase inhibitors
Anishiro, Nobuyoshir Muramatsu, Kozuer Murakata, Isamu
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
DOCUMENT TYPE: COLOR: VKXAF

DOCUMENT TYPE: Patent
TAMBURGE: 1

Japanese

LANGUAGE: J.
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

JP 2003238413 A2 20030827
PRIORITY APPLN. INFO:: APPLICATION NO. DATE JP 2002-36372 JP 2002-36372 20020214

R10, 5 R20 OR3 I

Thiophosphate analogs (I, R1, R2 = H, (substituted)low alkyl, R3 = single or cyclic alc. residue, steroidal) and their pharmacol. acceptable salts are claimed as steroid sulfatase inhibitors for treatment of steroid hormone-related diseases. I were prepared, and formulation examples of I tablets and granules were given.

MSTR 1

G2-G21

G2 - 52

L5 ANSWER 9 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued) - 87 - Hy<EC (0-) N (0-) O (0-) S, RC (1-)> (SO) claim 1 G20 MPL: NTE: NTE: NTE: claim 1 or pharmacologically acceptable salts also incorporates claim 28 additional ring formation also claimed

ANSWER 10 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

The present invention relates to tetrahydroquinoline compds. (shown as I) variables defined below: e.g. II) as muscarinic receptor agonists

AB The present invention relates to tetrahydroquinoline compds. (shown as I; variables defined below; e.g. II) as muscarinic receptor agonists (especially the HI and H4 subtypes); compns. comprising the same; methods of inhibiting an activity of a muscarinic receptor with said compds.; methods of treating a disease condition associated with a muscarinic receptor using said compds.; and methods for identifying a subject suitable for treatment using said compds. Values for tefficacy and px50s are tabulated for about 25 examples of I for MI-M5 muscarinic receptors showing selectivity towards MI and M4 subtypes. For I: RI = (un) substituted C1-6-alkyl, C2-6-alkylidene, C2-6-alkynyl, S-C2-6-alkynyl, O-C2-6-alkyl, O-C2-6-alkynyl, S-C1-6-alkyl, O-C2-6-alkyl, O-C2-6-alkynyl, S-C1-6-alkyl, S-C2-6-alkynyl, O-C2-6-alkynyl m = 0-2; C3-C4 is CH2-CH or CH-C or C4 is CH and C3 is absent; R2 and R3 = H, (un) substituted C1-6-alkyl, (un) substituted O-C1-6-alkyl, halogen, hydroxy or selected such that R2 and R3 together form a ring system; each R4 and R5 = H, halogen, hydroxy, (un) substituted C1-6-alkyl, (un) substituted aryl-C1-6-alkyl, (un) substituted C1-6-alkyl, (un) substi

LS ANSWER 10 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

139:101136 MARPAT
Preparation of tetrahydroquinoline analogs such as benzowazinones as muscarinic agonists useful against mental and other disorders

(INVENTOR(S): Skjaerbaek, Niels; Koch, Kristian Norup; Friberg, Bo
Lennart Mikael; Tolf, Bo-Ragnar
Acadia Tharmaceuticals, Inc., USA
PCT Int. Appl., 119 pp.
CODEN: PIXXD2
PARENT INVORMATION:

2005EN: PIXXD2
Patent
English
11 TITLE: INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

L5 ANSWER 10 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued) Ģ1—g2—g3 - 129 G7-C(0)-G8 = NH = alkylamino<(1-6)> - 0 - 155 155 G18 - CH-CH claim 1 or pharmaceutically acceptable salts or stereoisomers

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S ANSWER 11 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

CCESSION NUMBER:

139:69237 MARPAT

Benzediazepinone derivatives as bradykinin B2 receptor antagonists, preparation thereof, and use for treating pain

NVENTOR(S):

Leung, Carmen; Santhakumar, Vijayaratnam; Tomaszewski, Miroslaw; Woo, Simon

ATENT ASSIGNEE(S):

OURCE:

COUMENT TYPE:

ANGUAGE:

ANGUAGE:

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English

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TENT INEOROMATION:

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INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PAT | ENT ! | NO. | | KI | ND | DATE | | | A. | PPLI | CATI | ON NO | ٥. | DATE | | | |
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| WO | 2003 | 0512 | 75 | A | 2 | 2003 | 0626 | | W | 0 20 | 02-S | E230: | 9 : | 2002 | 1211 | | |
| WO | 2003 | 0512 | 75 | A | 3 | 2003 | 1030 | | | | | | | | | | |
| | W: | AE, | AG, | λL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM. | HR, | HU, | ID, | IL, | IN, | 15, | JP, | KE, | KG, | KP, | KR, | ΚZ, | LC, | LK, | LR, |
| | | LS. | LT. | LU, | LV. | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM, | PH, |
| | | PL, | PT, | RO, | RU, | SC, | SD, | SE, | 5G, | SK, | SL, | TJ, | TM, | TN, | TR, | TT, | TZ, |
| | | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | | | | | | |
| | RW: | GH. | GM. | KE, | LS, | MW. | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | Z₩, | AM, | AZ, | BY, |
| | | KG. | KZ. | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| | | | | | | IE, | | | | | | | | | | | |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | G₩, | ML, | MR, | NE, | SN, | TD, | TG | | |
| PRIORIT! | APP | LN. | INFO | .: | | | | | S | E 20 | 01-4 | 248 | | 2001 | 1214 | | |

A method is claimed of treating pain in a warm-blooded animal, comprising the step of administering a therapeutically effective amount of benzodiazepinones (shown as I; variables defined below; e.g. N-(7-chlor-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-N'(5-isoquinolinyl) thioures), pharmaceutically acceptable salts thereof, diastereomers thereof, enantioners thereof, or mixts. thereof. For I: Rl = (un)substituted acyl, alkyloxycarbonyl, alkyl, heteroalkyl, cycloalkyl, aryl, heterocyclyl; aryl-cl-6-alkyl, and heterocyclyl-cl-6-alkyl, and advialent Cl-12 group that together with a 2nd N of X form a ring; X is a divalent group including a lat N atom and the 2nd N atom, wherein a lst group is linked to the 1st N atom and Rl is linked to the 2nd N atom, and wherein the 1st and 2nd N atoms are separated by either one C atom, or two C atoms wherein said two C atoms have a double bond there between. R3 is

L5 ANSWER 12 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
139:69296 MARPAT
Preparation of benzodiazepinones and a benzodiazepinone combinatorial library as potential bradykinin receptor antagonists
Leung, Carmens Santhakumar, Vijayaratnam; Tomaszewski, Miroslaw; Woo, Simon
Astrazeneca AB, Swed.
SOURCE: POT Int. Appl., 207 pp.
CODEN: PIXED2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | PA1 | ENT | NO. | | KI | ND | DATE | | | A. | PPLI | CATI | ON N | ٥. | DATE | | | |
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| | Un. | 2003 | 0512 | 74 | | , | 2003 | 0626 | | t.r | 20 | 02-6 | E230 | 6 | 2002 | 1211 | | |
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| | WO | 2003 | 0512 | /4 | | 3 | 2003 | 1030 | | | | | | | | | | |
| | | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | ΒG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | | co. | CR. | CU. | CZ. | DE. | DK. | DM. | DZ. | EC. | EE. | ES, | FI. | GB, | GD, | GE. | GH, |
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| | | | KG. | KZ. | MD. | RU. | TJ. | TM. | AT. | BE. | BG. | CH. | CY. | CZ. | DE. | DK. | EE. | Es. |
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| | CA | 2468 | 448 | | A. | A | 2003 | 0626 | | C. | A 20 | 02-2 | 4684 | 48 | 2002 | 1211 | | |
| | EP | 1458 | 691 | | A | 2 | 2004 | 0922 | | E | 20 | 02-7 | 9363 | 4 | 2002 | 1211 | | |
| | | R: | AT. | BE, | CH, | DÉ. | DK, | ES, | FR. | GB, | GR, | IT. | LI, | LU, | NL, | SE, | MC, | PT, |
| | | | | | | | | | | | | | | | EE, | | | |
| DDIO | DITS | ADD | | | | | | | | | | | | | 2001 | | | |
| INIO | RIORITY APPLN. IN | | | | | | | | | | | | | | | | | |
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| GI | | | | | | | | | | | | | | | | | | |
| | | | | | | | | | | | | | | | | | | |

ANSYER 11 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued) (un) substituted aryl, C1-12alkyl, C3-12cycloalkyl, or heterocyclyl, R4 - H, halogen, (un) substituted alkyl, (un) substituted heteroalkyl, nitro, cyano, hydroxy, OR6, SX6, S(O)R6, S(O)2R6, C(O)R6, C(S)R6, RR7R6, C(O)R7R6, RR7R6, RR7C(O)R7R6, RR7R6, RR7SC2R6, OR C(O)OR6, and R5, R6 and R7 - H, (un) substituted C1-6alkyl. Thirty-three examples of I were tested for binding to 82 bradykinin and ranged from 43-3110 aM (dissoon. const.), no individual values are reported. Although the methods of prepn. are not claimed, 26 example prepns. of I and 31 of intermediates are included. More than 1100 examples of I prepd. combinatorially are tabulated with LCMS anal. results.

- 56-6 58-44

G24 G26 MPL: NTE: additional heteroatom interruptions also claimed and pharmaceutically acceptable salts

ANSWER 12 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

Benzodiazepines I [R1 = alkyl, cycloalkyl, heteroalkyl, aryl, heterocyclyl; aralkyl, heteroarylalkyl, acyl, alkoxycarbonyl, R3 = alkyl, cycloalkyl, aryl, heteroaryl R4 = H, halogen, alkyl, heteroalkyl, C2N, cyano, HO, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, acyl, alkylsulforathonyl, mino, aminocarbonyl, aminosulfonyl, alkylsulforylamino, alkoxycarbonyl, R5 = h, (un)substituted C1-6 alkyl, X = (un)substituted aminomethylamino or aminocthenylamino; R1 and X may form a ring; R1, R3, R4, X may all be substituted with alkyl groups] are prepared both by classic synthetic techniques and as members of a combinatorial library; I are human B2 bradykinin receptor antagonists with Ki values between 43 and 3110 MM. Thus, treatment of 6-chioro-1-methyl-2H-3,1-benzowazinone with glycine, chlorination with PCC13, Pd-catalyzed coupling of the resultant chloroimine with 2,4-dimethoxy-5-pyrimidinebronic acid, azidation with trisyl azide, Staudinger reaction of the azide with resin-bound triphenylphosphine, acylation of the free amine with thiophosgene, and addition of 4-(diethylamino)-2-methylanline to the isothiocyanate yields the benzodiazepine II. Methods for the synthesis of combinatorial libraries of I by alkylation of the N1 site of benzodiazepin-2-ones followed by deprotection, acylation of the free amine with either phosgene or thiophosgene, and addition of amines to the isocyanates or isothiocyanates formed in the previous step are claimed. Hethods for the synthesis of I by palladium-mediated coupling of boronic acids with 5-halobenzo-1, d-diazepin-2-ones followed by regioselective azidation at the 3-position of the benzodiazepinnea and Staudinger reaction of the azide with triphenylphosphine are also claimed. I may be useful as potential analgesics (no data).

L5 ANSWER 12 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

G2 - 56-6 58-44

G9 - 251

G24 G26 MPL: NTE: NTE: STE: - NH - O

O claim 1

additional heteroatom interruptions also claimed and pharmaceutically acceptable salts and diastereomers and enantiomers

ANSWER 13 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

Title compds. I [R1-5 = H, alk(en/yn)yl, cycloalkyl, heterocyclyl, etc.; R6 = H, alkyl, alkoxy; R7 = H, alkyl; R8 = H, alkyl; R9 = alk(en/yn)yl, (hetero)aryl, etc.; R10 = H, alkyl; R1-13 = H, (cyclo)alkyl, alkenyl, alkynyl, (hetero)aryl, etc.; p = 0-4] are prepared For instance, the di-Me ketal of 4-hydroxy-3-hydroxymethyl-e-bromoacetophenone (preparation given) is reacted with 4-bromophenethylamine (CH2C12, EtN) followed by 4,4'-dimethoxychlorodiphenylamine and subsequently reduced (THF, NaBH4). The resulting protected amino alc. is then coupled with N-(4-heptyl-6-methyl-2-pyrimidinyl)sulfanilamide (PNMe, dppf, Pd2dba3, 80°, 5 h) and then deprotected with HOAC (80°, 5 h) to give 11. All of the compds, tested demonstrated greater binding at the $\beta 2$ adrenergic receptor than at the $\beta 1$ adrenergic receptor, i.e., Ki(B1) x may with a selectivity greater than 20. 1 are useful for the treatment of pulmonary diseases.

L5 ANSWER 13 OF 44

ACCESSION NUMBER:

INVENTOR(S):

PATENT ASSIGNEE(S):

FOURTH TYPE:

LANGUAGE:

PATENT TYPE:

LANGUAGE:

PATENT INFORMATION:

DOCUMENT TYPE:

LANGUAGE:

PATENT INFORMATION:

ARRPAT COPYRIGHT 2005 ACS on STN

138:401502 MARPAT

Preparation of aryl sniline β-2 adrenergic
receptor agonists

HOTAL, Damber J. Jacobsen, John R.; Leadbetter,
Michael R.; Nodwell, Matthew B.; Trapp, Sean G.;
Aggen, James; Church, Timothy J.
Theravance, Inc. USA
PCT Int. Appl., 139 pp.
COODEN: PIXXOZ

English

Sequence:

English

English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION.

| PATE | NT 1 | NFOR | MATI | ON: | | | | | | | | | | | | | | |
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| | WO | 2003 | | | | | | | | | | | | | | | | |
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| | | | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | ΤJ, | TM, | TN, | TR, | TT, | TZ, |
| | | | UA, | UG, | US, | υz, | vc, | VN, | YU, | ZA, | ZM, | ZW | | | | | | |
| | | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | ΒY, |
| | | | KG, | ΚZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| | | | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | SK, | TR, | BF, | ΒJ, | CF, |
| | | | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | |
| | | 2466 | | | | | | | | | | | | | | | | |
| | ΕP | 1446 | 379 | | A | 1 | 2004 | 0818 | | E | P 20 | 02-7 | 8062 | 2 | 2002 | 1112 | | |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SĔ, | MC, | PT, |
| | | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | SK | | |
| | BR | 2002 | 0137 | 95 | A | | 2004 | 1207 | | В | R 20 | 02-1 | 3795 | | 2002 | 1112 | | |
| | JP | 2005 | 5090 | 24 | T | 2 | 2005 | 0407 | | J | P 20 | 03-5 | 4400 | 1 | 2002 | 1112 | | |
| | US | 2004 | 0591 | 16 | A | 1 | 2004 | 0325 | | U | S 20 | 03-6 | 4292 | 6 | 2003 | 0818 | | |
| PRIO | RIT | APP | LN. | info | .: | | | | | | | | | | 2001 | | | |
| | | | | | | | | | | U | 5 20 | 01-3 | 4377 | 1P | 2001 | 1228 | | |
| | | | | | | | | | | U | s 20 | 02-2 | 9221 | 1 | 2002 | 1112 | | |
| | | | | | | | | | | W | 0 20 | 02-U | 5362 | 37 | 2002 | 1112 | | |
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L5 ANSWER 13 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

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1142-C(0)-G24

G16 = heteroaryl<EC (0-) N (0-) O (0-) S> G22 = NH G44+G45= 197-6 194-1

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claim 1 or pharmaceutically acceptable salts and solvates additional substitution also claimed or stereoisomers

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

L5 ANSWER 14 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
TITLE:

138:271682 MARPAT
Preparation of cyclic hydroxamic acids as inhibitors of marix metalloproteinases and/or TNF-a converting enzyme for treatment of inflammatory disorders

Oct, Gregory; Chen, Xiao-Tao; Duan, Jingwu; Lu, Zhonghui
PATENT ASSIGNEE(5):
SOURCE:

PATENT INFORMATION:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

138:271682 MARPAT
Preparation of cyclic hydroxamic acids as inhibitors of market mark

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT:

| PATE | INT : | INFOR | MATI | ON: | | | | | | | | | | | | | | |
|------|-------|-------|------|------|-----|-----|------|----------|-----|-----|------|-------|------|------|------|------|-----|-----|
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| | | | | | | | | - | | - | | | | | | | | |
| | W٥ | 2003 | 0248 | 99 | | 2 | 2003 | 0327 | | W | 0 20 | 02-11 | 5296 | 85 | 2002 | 0916 | | |
| | | 2003 | | | | | | | | - | | | | •• | | | | |
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| | | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, |
| | | | LS. | LT. | LU. | LV. | MA. | MD. | MG. | MK. | MN. | MW. | MX. | MZ. | NO, | NZ. | OM. | PH. |
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| | | | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PĪ, | SE, | SK, | TR, | BF, | BJ, | CF, |
| | | | CG. | CI. | CM. | GA. | GN, | GO. | GW. | ML. | MR. | NE. | SN. | TD. | TG | | | |
| | 115 | 2003 | | | | | | | | | | | | | | 0916 | | |
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| | EP | 1427 | | | | | | | | | | | | | | | | |
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| PRIC | RIT | APP | LN. | INFO | | | | | | U | s 20 | 01-3 | 2263 | OP | 2001 | 0917 | | |
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| GI | | | | | | | | | | | | | | | | | | |

ANSWER 14 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

C3 - 16

- 80-13 79-44 81-17 82-20

121 -G7

MPL: NTE: NTE: NTE: STE: or pharmaceutically acceptable salts substitution is restricted additional ring formation also claimed or stereoisomers ANSWER 14 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

Title compds. I [wherein ring B = (un) substituted 4-7 membered (hetero) cyclic ring containing 0-2 O, N, NRI, or SOp atoms and 0-3 carbonyl groups; R1 and R2 = independently Q, alk(en/yn)ylene-Q, or (un) substituted alkylene-Q interrupted by O, NRa, CO, CO2, CONRa, NRaCO2, NRaCOXRa, SOp, NRaSO2, or SOZMRa; or R1 = (un) substituted alkylene-Q interrupted by OCO, OCO2, or COURsa; Q = H or (un) substituted alkylene-Q interrupted by OCO, NRI, NRaCO, CONRa, Q = H or (un) substituted alkylene-Q interrupted by O, NRI, NRACO, CONRa, CO, CO2, SOp, or SOZMRa; Q1 = H or (un) substituted henzindazolyl, indolyl, imidazopyridinyl, pyrazolylpyridinyl, benzofuranyl, benzofuranyl, benzofuranyl, pyrazolylpyridinyl, benzofuranyl, benzofuranyl, pyrazolylpyridinyl, benzofuranyl, benzofuranyl, benzofuranyl, benzofuranyl, benzofuranyl, pyrazolylpyridinyl, benzofuranyl, pyrazolylpyridinyl, benzofuranyl, pyrazolylpyridinyl, benzofuranyl, benzofuranyl, pyrazolylpyridinyl, benzofuranyl, pyrazolylpyridin

treated with NH2OH-HC1/HeONa to give the hydroxamic acid (35,45)-II (33%). A number of the compds. of the invention inhibited MMP-1, 2, 3, 7,

9, 10, 12, 13, 14, 15, and/or 16 with Ki values of ≤ 10 μM.
Thus, I are useful for the treatment of a wide variety of inflammatory disorders (no data).

MSTR 1

Patent English DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

Title compds. [I; X, Ra = H, (unsatd.) aliphatyl, AY; A = CO, SO2, CONRs, CONRsSO2; T = H, halo, NO2, cyano, (unsatd.) (halogenated) aliphatyl optionally interrupted by O and/or S; Y = organic substituent; with provisos], and des-nitroso compds. (II; variables as above), were prepared Thus, a mixture of nicotinoyl chloride hydrochloride, 4-amino-4'-methoxy-N-tert-butoxy-arbonyldiphenylamine, and Et3P was stirred in CH2C12 to give 100% 4-nicotinoylamino derivative which was N-deprotected with CF3CO2H to

95.2% 4-methoxy-4'-nicotinoylaminodiphenylamine. The latter in HOAc was

L5 ANSWER 15 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued) treated dropwise with aq. NaNO2 to qive 88% N-nitroso-4-methoxy-4'-nicotincylaninodiphenylamine. Tested II inhibited oxidn. of human low nol. wt. lipoproteins by Cu2+ with IC50 = 1.7-13.4 µM.

-G10-3911

G10 - 35-30 36-32

38 (0)34

- 133 G11

MPL: NTE: NTE:

claim 1 and addition salts, hydrates, and solvates substitution is restricted also incorporates claim 24 and stereoisomers

NTE:

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 16 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued) 7-amino-4-carbamcylmethylcoumarin (ACC). Substrates incorporating the ACC leaving group show comparable kinetic profiles as those with the traditionally used 7-amino-4-methylcoumarin (AMC) leaving group. The bifunctional nature of ACC allows for the efficient produ. of single substrates and substrate libraries using solid-phase synthesis techniques. The approx. 3-fold increased quantum yield of ACC over AMC permits redu. in enzyme and substrate concens, so that a greater no. of substrates can be tolarated in a single assay, thus enabling an increase in the diversity space of the library. Employing this screening method, the substrate specificities of a diverse array of proteases were profiled, including serine proteases and cysteine proteases.

-C (O)-G13

--66(0)--617-69H

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:2255 MARPAT

ITILE: 16:2255 MARPAT

Profiling of protease specificity using combinatorial fluorogenic substrate libraries

Harris, Jennifer L. Janckes, Bradley J., Ellman, Jonathan A.; Craik, Charles S.

PATENT ASSIGNEE(S): Regents of the University of California, USA

CODEN: PIXCH2

LANGUAGE: PATENT

English PATHILY ACC, NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | PAT | TENT | NO. | | KI | ND | DATE | | | A | PPLI | CATI | ON N | ٥. | DATE | | | | |
|-----|-----|-------|-------|------|-----|-----|------|------|-----|-----|------|--------|------|-----|------|------|-----|-----|--|
| | | | | | | | | | | _ | | | | | | | | | |
| | WO | 200 | 10943 | 32 | Α | 1 | 2001 | 1213 | | W | 0 20 | 01-U | s172 | 65 | 2001 | 0525 | | | |
| | | W: | AE. | AG. | AL. | AM. | AT. | AU. | AZ. | BA. | BB. | BG. | BR. | BY. | BZ, | CA. | CH. | CN. | |
| | | | | | | | | | | | | | | | GB, | | | | |
| | | | | | | | | | | | | | | | | | | | |
| | | | GM, | HR, | ΗU, | 10, | IL, | IN, | 15, | JP, | KE, | KG, | KΡ, | KR, | KZ, | LC, | шĸ, | LR, | |
| | | | LS, | LT. | LU. | LV. | MA. | MD. | MG, | MK, | MN. | MW. | MX, | MZ. | NO. | NZ, | PL. | PT, | |
| | | | RO. | RU. | SD. | SR. | SG. | ST. | SK. | St. | TJ. | TM. | TR. | TT. | TZ. | UA. | UG. | US. | |
| | | | | | | | | | | | | | | | | | , | , | |
| | | | | | | | | | | | | | | | ΤJ, | | | | |
| | | RW: | GH, | GM, | ΚE, | LS, | MW, | MZ, | SD, | SL, | sz, | TZ, | UG, | ZW, | AT, | BE, | CH, | CY, | |
| | | | DE. | DK. | ES. | FI. | FR. | GB. | GR. | IE. | IT. | LU. | MC. | NL. | PT, | SE. | TR. | BF. | |
| | | | | | | | | | | | | | | | TD, | | | | |
| | 110 | 2001 | | | | | | | | | | | | | 2001 | | | | |
| | | | | | | | | | | | 3 20 | 01-0 | 0013 | ~ | 2001 | 0323 | | | |
| | US | 6686 | 178 | | В | 2 | 2004 | 0120 | | | | | | | | | | | |
| | US | 2004 | 11757 | 77 | Α | 1 | 2004 | 0909 | | บ | S 20 | 03-6 | 8688 | 4 | 2003 | 1015 | | | |
| RIO | RIT | Y APE | LN. | INFO | . : | | | | | U | 5 20 | 00-2 | 0927 | 4 P | 2000 | 0602 | | | |
| | | | | | | | | | | | | 01 0 | 6613 | | 2001 | 0505 | | | |
| | | | | | | | | | | | | | | | | | | | |
| | | | | | | | | | | W | 0 20 | 01 – U | 5172 | 65 | 2001 | 0525 | | | |
| | | | | | | | | | | | | | | | | | | | |

GI

$$0 \longrightarrow 0 \longrightarrow R^1$$

$$R^2$$

$$R^3$$

$$R^3$$

L5 ANSWER 17 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
TITLE:
135:303914 MARPAT
Preparation of compounds which contain a
1,2,4-trioxane moiety linked to a quinoline moiety for
pharmaceutical use as antimalarial agents
Meunier, Bennard; Robert, Anne; Dechy-Cabaret, Odile;
Benoit-Vical, Francoise
Centre National de la Recherche Scientifique
(C.N.R.S.), Fr.
SOURCE:
FOT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | PAT | ENT | NO. | | KI | ND | DATE | | | A | PPLI | CATI | ON NO | ٥. | DATE | | | | |
|------|-----|------|-------|-----|-----|-----|------|------|-----|-----|------|------|-------|-----|------|------|-----|-----|--|
| | | | | | | | | | | - | | | | | | | | | |
| | WO | 200 | 10771 | 05 | A | 1 | 2001 | 1018 | | W | 20 | 01-F | R101 | 3 | 2001 | 0404 | | | |
| | | W: | AE, | AG. | AL. | AM. | AT. | AU. | AZ. | BA. | BB. | BG. | BR. | BY. | BZ. | CA. | CH. | CN. | |
| | | | | | | | | | | | | | | | GD, | | | | |
| | | | | | | | | | | | | | | | LC. | | | | |
| | | | | | | | | | | | | | | | NZ. | | | | |
| | | | | | | | | | | | | | | | UA. | | | | |
| | | | | | | | AM, | | | | | | | | | ٠٠, | ٠, | 02, | |
| | | THE | GH, | | | | | | | | | | | | | DE. | cu | ~~ | |
| | | IVM. | | | | | | | | | | | | | | | | | |
| | | | | | | | | | | | | | | | PT, | | ıĸ, | Dr, | |
| | | | | | | | | | | | | | | | TD, | | | | |
| | | | 7433 | | | | | | | F | R 20 | 00-4 | 422 | | 2000 | 0406 | | | |
| | | | 7433 | | | | | | | | | | | | | | | | |
| | | | 5076 | | | | | | | | | | | | | | | | |
| | EP | 126 | 8470 | | A | 1 | 2003 | 0102 | | E | P 20 | 01-9 | 2147 | 6 | 2001 | 0404 | | | |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR | | | | | | | |
| | BR | 200 | 10098 | 85 | A | | 2003 | 0603 | | В: | R 20 | 01-9 | 885 | | 2001 | 0404 | | | |
| | JP | 200 | 15218 | 55 | T | 2 | 2004 | 0722 | | J: | P 20 | 01-5 | 7557 | 8 | 2001 | 0404 | | | |
| | ZA | 200 | 20078 | 51 | Α | | 2004 | 0126 | | Z | A 20 | 02-7 | 851 | | 2002 | 0930 | | | |
| | NO | 200 | 20047 | 95 | A | | 2002 | 1206 | | N | 20 | 02-4 | 795 | | 2002 | 1004 | | | |
| | | | 10389 | | | | | | | | | | | | 2003 | 0204 | | | |
| PRIO | | | PLN. | | | - | | | | | | | | | 2000 | 0406 | | | |
| | | | | | | | | | | | | | | | 2001 | | | | |
| | | | | | | | | | | - | | | | - | | | | | |

L5 ANSWER 17 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

1,2,4-Trioxanes, such as I {R1, R2 = H, fused carbocyclic ring, alkyl, etc.; R3 = H, He, Ph, etc.; Y1, Y2 = linking group, such as alkylene, cycloalkylene; U = O, S, amino, amide sulfonamide, carboxy, etc.], were prepared for use a therapeutic agents for the treatment of malaria. Thus, trioxane II as its dicitrate salt, designated as DU 1302, was prepared via cyclication of α-terpinene and 1,4-cyclohexanedione by photooxidn. using oxygen in CH2C12 followed by condensation of the resulting keto-trioxane with N-(7-chloro-4-quinolinyl)-1,2-ethanediamine using sodium triacetoxyborohydride in CH2C12. The prepared trioxanes were tested for antimalarial activity against three strains of Plasmodium falciparum, i.e. FcB1-Columbia, FcM29-Cameroon, and Nigerian. Also, pharmaceutical compns. of the trioxanes were presented. AB

ΙI

```
G1-G2-G8
           = alkylene<(1-)> (SO (1-) OH)
= NH (SO)
= 27-1 29-26
25 (0): g5
           = NH
= quinclinyl (SO (1-) G17)
= OH
G16
G17
MPL:
NTE:
NTE:
              claim 1
              additional interruptions in G3 alkylene chains also claimed additional ring formation also claimed
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L5 ANSWER 18 OF 44 MARPAT COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER:
135:147430 MARPAT
2-{IH}-quinolone and 2-{IH}-quinoxalone inhibitors of factor Xa, pharmaceutical compositions, and therapeutic use

INVENTOR(S):
PATENT ASSIGNEE(S):
COT Therapeutics, Inc., USA
PCT Int. Appl., 66 pp.
CODEN: PIXXD2
PATENT TYPE:
PATENT TYPE:
PATENT INFORMATION:
1
  DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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compds. are also described. The compds. and compns. are useful in vitro or in vivo for preventing or treating conditions in mammals characterized by undesired thrombosis.

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G1-G35-G18
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L5 ANSWER 17 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
NTE: and pharmaceutically acceptable acid addition salts
NTE: substitution is restricted (Continued)

2 REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

(Continued)

L5 ANSWER 18 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

L5 ANSWER 19 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 134:193446 MARPAT
TITLE: Preparation of heterocyclic compounds as inhibitors of Freparation of neterocyclic Compounds as imministrated of factor Xa Zhu, Bing-Yan; Scarborough, Robert H.; Clizbe, Lane; Doughan, Brandon Jia, Zhaozhong-Jon; Kane-Maguire, Kim; Harlowe, Charles; Song, Yonghong; Su, Ting; Teng, Willy; Zhang, Penglie Cor Therapeutics, Inc., USA; et al. PCT Int. Appl., 387 pp. CODEN: PIXXD2
Patent INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE

WO 2001012600 A1 20010222
WO 2000-US21742 20000810
WO 2001012600 C2 20020912
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, KR, HU, ID I, LI, IN, IS, JP, KE, KG, KF, KR, KZ, LC, LK, LS, LS, LL, LU, LV, HA, HD, MG, MK, MN, MW, MK, MZ, NO, NZ, FL, FT, RO, RU, SD, SZ, SG, SI, SK, SL, TJ, TM, TR, TI, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, SE, FI, FR, GB, GR, IE, IT, LU, MC, NL, FT, SE, BF, BJ, CF, CG, CI, CM, GA, GM, GW, ML, NR, NE, SN, TD, TG
US 6534535 B1 20030318
PRIORITY APPLN. INFO::

WO 20010-202102P 200000505 GI

COPYRIGHT 2005 ACS on STN (Continued)
THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 19 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
The title compds. [I: A = alkyl, cycloalkyl, (un)substituted Ph, etc.: Q = a direct link, CH2, CO, etc.: D = (un)substituted Ph, 6-membered heteroaryl having 1-2 ring N atoms; M = NRIGCO, NRIGCS, CRITRIBCO, etc.: RIG-RIB = H, halo, alkyl, etc.: E = a direct link, CO, CONRS, etc.: RS = alkyl, alkenyl, alkynyl, etc.: G = a direct link, CO, CONRS, etc.: RS = alkyl, alkenyl, alkynyl, etc.: G = a direct link, CR7G:CR8cr R7, RB, R7a, R7b, R7c, R8a, R8b, R8c = H, halo, alkyl, etc.: J = a direct link, O, S, etc.: Y = (un)substituted Ph, naphthyl, monocyclic or fused bicyclic heterocyclyl; L = H, CN, CONRI2RI3; R12, R13 = H, alkyl, CH, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepared and formulated. E.g., a multi-step synthesis of the title compound II was given.

= 11-28 13-2 14-3

- 41-1 42-3

- CH-CH (SO) - O - NHC (NH) NH2 (SO) - 100-1 101-90

1884 18{0)

NH (50) claim 1 additional ring formation also claimed substitution is restricted MPL: NTE: NTE:

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L5 ANSWER 20 OF 44 MARPAT COPYRIGHT 2005 ACS ON STN .

ACCESSION NUMBER: 133:252296 MARPAT .

ITILE: 133:252296 MARPAT .

INVENTOR(S): Uckun, Fatih M., Ventatachalam, Taracad K. Hughes Institute, USA .

US., 10 pp. .

CODEN: USXXAM .

DOCUMENT TYPE: LANGUAGE: English .

FAMILY ACC. NUM. COUNT: 1
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
```

EP 1194427 B1 20030305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2003502423 T2 20030121 JP 2001-504921 20000623
AT 233758 E 20030315 AT 2000-941686 20000623
ES 2195905 T3 20031216 ES 2000-941686 20000623

JP 2001-504921 20000623 AT 2000-941686 20000623 ES 2000-941686 20000623 US 1999-338685 19990623 WO 2000-US17361 20000623

PRIORITY APPLN. INFO.:

GΙ

ANSWER 20 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued) The title compds. [I: n = 0.3; R = H, halo, alkyl, etc.; R = cycloalkyl, cycloalkeyl, isothiazolyl, etc. [, inhibitor's of reverse transcriptses and effective agents for the treatment of HIV infection, including mutant, drug-sensitive, drug-resistant, and multi-drug resistant strains of HIV, were prepared (general preparation was given). E.g., thiourea I [R = H) R:

4-BrC6H4] showed IC50 of 0.8 against purified recombinant HIV RT.

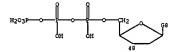
quinclinyl (SO (1-) G3) OH claim 1

or pharmaceutically acceptable addition salts

REFERENCE COUNT:

THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 26

ANSWER 21 OF 44 MARPAT COPYRIGHT 2005 ACS on STN



= 224-1 226-4

MPL: claim 1

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 ANSWER 21 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 132:31740 MARPAT
TITLE: Nucleotide analogs with 3'-pro-fluorescent fluorophores in nucleic acid sequence analysis
SINVENTOR(S): Shi, Jufany Boyce-Jacino, Michael T., Goelet, Phillip
Orchid Biocomputer, Inc., USA
CODEN: PIXXOZ
DOCUMENT TYPE: PARTIX ACC. NUM. COUNT: English
FAMILY ACC. NUM. COUNT: 1 DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: ' PATENT NO. APPLICATION NO. KIND DATE in nucleic acid sequencing. Thus, a nucleotide analog useful in methods of the invention was prepared by reaction of 3'-amino-2',3'-dideoxythymidine triphosphate with 3-acetamidorhodamine-6-isothiocyanate. In the presence of a (nuclease-resistant) phosphorothioate-linked oligonucleotide primer hybridized to a target DNA and a DNA polymerase with 3'+5' exonucless activity, this nucleotide analog was incorporated into the primer and the dye was simultaneously released.

- 48 G1

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L5 ANSWER 22 OF 44
ACCESSION NUMBER:
TITLE:

RAPPAT COPYRIGHT 2005 ACS on STN

128:154097 MARPAT
Preparation of certain substituted benzylamine
derivatives such as amides of cis-1-(3-aminophenyl)-1-
(4-phenyl-1-piperazinyl)-4-methylcyclohexane as a new
class of neuropeptide Y1 specific ligands
Blum, Charles A., Hutchison, Alan; Peterson, John M.
Neurogen Corp., USA
PCT Int. Appl., 30 pp.
COUNENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
 DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                         APPLICATION NO. DATE
               PATENT NO.
                                                              KIND DATE
             19991005
20001114
20030115
20030516
20000331
                                                                                                                        US 1997-897045 19970718
UF 1998-507101 19970718
AT 1997-934217 19970718
EX 1997-934217 19970718
MX 1999-870 19990723
WO 1997-US12614 19970718
 MX 9900870
PRIORITY APPLN. INFO.:
```

L5 ANSWER 22 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

The title compds. [Is one of X1, X2 and X3 = -N(Ro)C(0)N(Rp)Y and the remaining X1, X2 and X3 = Hs Y = (un)substituted Ph, pyridyl, naphthyl, etc.; Ro, Rp = H, C1-6 alkyl, etc.; RoRp = (CH2)ns n = 1-31 Ar = (un)substituted Ph, pyridyl, thienyl, pyrimidyl, B = S, O, N(R5), C(RS)(R6); n = 1-37 m = 2-4s R1, R2 = H, C1-6 alkyl; R3, R4 = H, C1-6 alkyl; R5 = C1-6 alkyl; Ph. pyridyl; R6 = H, OH, NH2, etc.], useful in the diagnosis and treatment of feeding disorders such as obesity and bulinia and cardiovascular diseases such as essential hypertension and congestive heart failure due to the binding of these compds. to mammalian neuropeptide Yl receptors, were prepared Thus, treatment of cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane (preparation described) with phospene in the presence of R13H in CH2C12 Compds. I are effective at 0.1-140 mg/kg/day.

MSTR 1

L5 ANSWER 23 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):

POUWERT TYPE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

FAMILY ACC. NUM. COUNT:

FAMILY ACC. NUM. C

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

GΙ

| | PA: | PENT | NO. | | KII | ND | DATE | | | AP | PLIC | ATI | ON NO | ٥. | DATE | | | | |
|------|-----|-------|-----|------|-----|-----|------|------|-----|-----|------|------|-------|-----|------|------|-----|-----|----|
| | | ~~~ | | | A | | 1997 | | | *** | 100 | 7 | 267 | | 1997 | | | | |
| | WO | 9746 | | | Α. | | 1997 | 1211 | | WU | 133 | /-E | 201 | 3 | 1337 | 1212 | | | |
| | | w: | JP, | US | | | | | | | | | | | | | | | |
| | | RW: | AT. | BE. | CH. | DE. | DK. | ES. | FI. | FR, | GB. | GR. | IE. | IT. | LU. | MC. | NL. | PT. | SI |
| | EP | 8763 | | | | | 1998 | | | EP | | | | | 1997 | | | | |
| | | R: | DE, | GB. | TT | | | | | | | - | | | | | | | |
| | •• | 1151 | | | т. | | 1999 | ^^^1 | | | 100 | 2 6 | 0016 | | 1997 | | | | |
| | | | | | | | | | | | | | | | | | | | |
| | US | 5905 | 149 | | A | | 1999 | 0518 | | US | 199 | 8-98 | 3351 | 6 | 1998 | 0129 | | | |
| PRIO | RIT | Y APP | IN. | INFO | . : | | | | | GB | 199 | 6-1 | 1797 | | 1996 | 0606 | | | |
| | | | | | | | | | | | | | 267 | | 1997 | | | | |
| | | | | | | | | | | -0 | 1,73 | 1-E | 201 | , | 1931 | 0313 | | | |

The title compds. [I; Rl-R4 = X(CH2)mNH2, X(CH2)mNR5R6, etc.; R = H, (CH2)mCOR7, etc.; n = l-4; m = 2-4; R5, R6 = H, Cl-6 alkyl; R7 = (un)substituted saino acids, etc.] and the pharmaceutically acceptable saits thereof are prepared I, possessing tyrosine kinase inhibitory activity, are useful as immunomodulating agents, and antimatestatic and anticancer agents, or in the control of angiogenesis and atheromatous plaque, and treatment of Alzheimer's disease. Thus, 8-hydroxyquinoline-5-carbaldehyde was reacted with 2-oxoindole in the presence of piperidine and then reacted with MeCHBrCO2OEt in the presence of Bu4NF to give the

L5 ANSWER 22 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

- (1) 20

and pharmaceutically acceptable salts claim 1

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued) title compd. (II), which showed IC50 of 39.5 µM against K562 cell growth in vivo. A formulation contg. I were also prepd.

= 62 / OH

62^{18—C}(0)-G18—G19

or pharmaceutically acceptable salts claim 1

substitution is restricted

L5 ANSWER 24 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
128:22928 MARPAT
111E: Preparation of cyclic urea HIV protease inhibitors
Jadhay, Prabhakar Kondaji, Ko, Soo Sung
DUPONT MSTCK Pharmaceutical Co., USA
U.S., 68 pp., Cont.-in-part of U.S. Ser. No. 406,240,
abandoned.
CODEN: USXCAM
LNGUAGE: Patent
LNNGUAGE: English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | PA: | TENT | NO. | | KII | ND | DATE | | | A | PLI | CATI | ON N | ο. | DATE | | | | |
|------|-----|------|-----|------|-----|-----|------|------|-----|-----|-----|------|------|-----|------|------|-----|-----|----|
| | | | | | | | | | | | | | | | | | | | |
| | US | 5683 | 999 | | Α | | 1997 | 1104 | | US | 19 | 96-6 | 1355 | 4 | 1996 | 0311 | | | |
| | CA | 2215 | 536 | | A. | A | 1996 | 0926 | | CZ | 19 | 96-2 | 2155 | 36 | 1996 | 0313 | | | |
| | WO | 9629 | 329 | | A: | 1 | 1996 | 0926 | | WC | 19 | 96-V | 5342 | 6 | 1996 | 0313 | | | |
| | | W: | ΑU, | BR, | CA, | CN, | CZ, | EE, | HU, | JP, | ĸR, | LT, | LV, | MX, | NO, | ΝZ, | PL, | RO, | |
| | | | SG, | SI, | SK, | UA, | VN, | AH, | AΖ, | BY, | KG, | ΚZ, | MD, | RU, | ΤJ, | TM | | | |
| | | RW: | AT, | BE, | CH, | DE, | DK, | ES. | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE |
| | AU | 9653 | 100 | | A. | 1 | 1996 | 1008 | | Αt | 19 | 96-5 | 3100 | | 1996 | 0313 | | | |
| | EP | 8151 | 08 | | A: | 1 | 1998 | 0107 | | E | 19 | 96-9 | 0968 | 0 | 1996 | 0313 | | | |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | ΙE |
| | ZA | 9602 | 133 | | A | | 1997 | 0915 | | Z.F | 19 | 96-2 | 133 | | 1996 | 0315 | | | |
| PRIO | RIT | APP | LN. | INFO | . : | | | | | US | 19 | 95-4 | 0624 | 0 | 1995 | 0317 | | | |
| | | | | | | | | | | ŲS | 19 | 96-6 | 1355 | 4 | 1996 | 0311 | | | |
| | | | | | | | | | | WC | 19 | 96-U | 5342 | 6 | 1996 | 0313 | | | |
| | | | | | | | | | | | | | | | | | | | |

GΙ

Cyclic ureas I $\{R1 = CH2XY2; X = alkyl, aryl, cycloalkyl, etc.; Y = (CH2)no, (CH2)nS, (CH2)nC; NH)NH, etc.; n = 0-2; Z = 2-, 3-, or 4-pyridyl, 2-pyrazinyl, etc.; RZ = R], CH2XY121, H, etc., Y1 = <math>(CH2)$ no(CH2)m, (CH2)nS(CH2)m, etc.; Zi = H, alkyl, alkenyl, aryl, etc.; R3, R4 = benzyl, 2-pyrrolylmethyl, Et, iso-Bu, hexyl, etc.] useful as inhibitors of HIV protease (no data), were prepared the present invention also relates to pharmaceutical compns. comprising such compds. and to method of using these compds. for the treatment HIV infection. The present invention also relates to the use of such compds. in processes for the identification of HIV protease inhibitors and for the inhibition or detection of HIV in a bodily fluid sample (no date). AB

MSTR 1A

L5 ANSWER 25 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 127:205815 MARPAT
TITLE: Preparation of slalyl-Lewisa and slalyl-Lewisx epitope analogs as B-selection receptors
Oehrlein, Reinhold
Novartis A.-G., Switz., Oehrlein, Reinhold
PATENT INSURER(S): SURCE: SURCE: SURCE: PRINCES
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | PA1 | ENT | NO. | | KI | ND | DATE | | | A | PPLI | CATI | ON N | ο. | DATE | | | | |
|----|------|------|------|-------|-------|-----|------|------|-----|-----|------|------|------|-----|------|------|-----|-----|---|
| | | | | | | | | | | - | | | | | | | | | |
| | WO | 972 | 8174 | | A | 1 | 1997 | 0807 | | W | 0 19 | 97-E | P223 | | 1997 | 0117 | | | |
| | | W: | AL, | , AU, | BA, | BB, | BG, | BR, | CA, | CN, | CU, | CZ, | EE, | GE, | HU, | IL, | IS, | JP, | |
| | | | KP, | KR, | LC, | LK, | LR, | LT, | LV, | MG, | MK, | MN, | MX, | NO, | NZ, | PL, | RO, | SG, | |
| | | | SI | SK, | TR. | TT. | UA. | US, | UZ. | VN. | AM, | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | T |
| | | RW | : KE | LS, | MV. | SD, | SZ, | UG, | AT, | BE, | CH, | DE, | DX, | ES, | FI, | FR, | GB, | GR, | |
| | | | IE. | IT. | LU. | MC. | NL. | PT. | SE. | BF. | BJ. | CF. | CG. | CI, | CM, | GA, | GN. | ML. | |
| | | | MR. | NE. | SN. | TD. | TG | | | | | | | | | | | | |
| | AU | 971 | 1446 | | Á | 1 ' | 1997 | 0822 | | A. | U 19 | 97-1 | 4446 | | 1997 | 0117 | | | |
| | EP | 886 | 639 | | A | 1 | 1998 | 1230 | | E | P 19 | 97-9 | 0106 | 8. | 1997 | 0117 | | | |
| | | R: | AT. | . BE. | CH, | DE, | DK, | ES, | FR. | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | | IE. | FI | | | | | | | | | | | | | | | |
| | US | 618 | 7754 | | В | 1 | 2001 | 0213 | | U | s 19 | 99-1 | 1752 | 1 | 1999 | 0108 | | | |
| OI | RITY | (AP | PLN. | INFO | . : - | | | | | c | н 19 | 96-2 | 29 | | 1996 | 0130 | | | |
| | | | | | | | | | | W | 0 19 | 97-E | P223 | | 1997 | 0117 | | | |
| | | | | | | | | | | | | | | | | | | | |

PRI

Sialyl-Lewisa and sialyl-Lewisx epitope analogs I (2 = α-pyranose; R1 = H, slkyl, slkenyl, cycloalkyl, heteroaryl, cycloaryl; R2 = alkyl, cycloalkyl; R3 = Me, hydroxymethyl; X = C0, CS, S02, acyl, thiocarbonyl) in which the naturally occurring N-acetyl group of the N-acetylplucosamine monomer is replaced by various aliphatic or aromatic substituents and the L-fucose naturally present is replaced by various naturally occurring or non-naturally occurring sugars were prepared as E-selectin receptors. Thus, I (R = Me, R1 = 2-hydroxy-5-fluorophenyl, X = C0, R2 = (CH2)SC02Me, Z = R3) was prepared and tested as E-selectin receptor (relative IC50 to an internal control is 0.039).

L5 ANSWER 24 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

- NH - 195 G4 G13

= phenylene = 251-232 253-234

#81-C(0)583

or pharmaceutically acceptable salts

claim 1
additional substitution and ring formation also claimed

L5 ANSWER 25 OF 44 MARPAT COPYRIGHT 2005 ACS on STN MSTR 1

= quinolinyl (SR (1-) G14) = 96-40 97-43

96 __96

G8 G9 G14 MPL: NTE: NTE:

- O
- NH
- (1-) OH
claim 1
substitution is restricted
CH2 groups at G4 may be replace oxygen, sulfur, or an imino group
also incorporates claim 32, 34, structures VII, and VIII

L5 ANSWER 26 OF 44
ACCESSION NUMEER:
1717LE:
10VENTOR(S):
11VENTOR(S):
15OURCE:
15OU

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9629329 A1 19960926 WO 1996-U33426 19960313

W: AU, BR, CA, CN, CZ, EE, HU, JP, KR, LT, LV, KX, NO, NZ, PL, RO,
SG, SI, SK, UA, VM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, HC, NL, PT, SE
US 5683999 A 19971104
AU 9653100 A1 19961008 AU 1996-3154 19960313
EP 815108 A1 19981017 EP 1996-909680 19960313
ER: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, HC, PT, IE
PRIORITY APPLN. INFO::

US 1996-613554 19960311
WO 1996-U33426 19960313 PATENT NO. KIND DATE APPLICATION NO. DATE

GΙ

The title compds. [I; Rl'= heterocyclylmethyl; R2 = H, Rl], useful as HIV protease inhibitors and thus effective in treating HIV infections, are prepared and formulated. I are effective at 1.0-20 mg/kg-day p.o. Capsule, injectable, etc. formulations were given.

MSTR 1

L5 ANSWER 27 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:114487 MARPAT
TITLE: CNS-Active pyridinylures derivatives
FOTDER, Ian Thomson; Jones, Graham Elgin
SOURCE: Smithkline Beecham P.L.C., UK
PCT Int. Appl., 24 pp.
CODEN: PIXXD2

DOCUMENT TYPE:

DOCUMENT TYPE: Patent English 1

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| P | ATENT | NO. | | KIN | D. | ATE | | | AF | PLI | CATI | ON NO | ٠. | DATE | | | |
|--------|--------|-------|------|-----|-----|------|-----|-----|-----|-----|------|-------|-----|------|------|-----|----|
| - | | | | | | | | | | | | | | | | | |
| W | 0 9611 | 930 | | A1 | . 1 | 9960 | 425 | | WC | 19 | 95-E | P3944 | | 1995 | 1005 | | |
| | W: | JP. | US | | | | | | | | | | | | | | |
| | RW: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE |
| E | P 7884 | 99 | | A1 | . 1 | 9970 | 813 | | EP | 19 | 95-9 | 34139 | 5 | 1995 | 1005 | | |
| | R: | AT, | BE, | CH, | DE, | DK, | FR, | GB, | IT, | LI, | NL, | SE | | | | | |
| J | P 1050 | 8584 | | Т2 | 1 | 9980 | 825 | | JP | 19 | 95-5 | 12907 | 7 | 1995 | 1005 | | |
| u | S 5866 | 586 | | A | 1 | 9990 | 202 | | US | 19 | 97-8 | 17580 |) | 1997 | 0417 | | |
| PRIORI | TY APP | LN. I | NFO. | . : | | | | | GE | 19 | 94-2 | 0999 | | 1994 | 1018 | | |
| | | | | | | | | | WC | 19 | 95-E | P3944 | 1 | 1995 | 1005 | | |
| GI | | | | | | | | | | | | | | | | | |
| | | | | | | | | | | | | | | | | | |

The invention relates to heterocyclic compds. R1-G-N(R2)-CO-R3 [I, G = Phring, quinoline or isoquinoline nucleus, or a 5- or 6-membered aromatic heterocycle containing 1-3 heteroatoms (N, O, and/or S), R1 = H, alkyl, alkylthio, cyano, NO2, halo, C73, amino, etc., R2 = H, alkyl, R3 = group Cl or Q2: X = Y = N, or one of X and Y = N and the other = C or CH: R4, R5 = alkyl, alkoxy, OH, halo, NO2, (un) substituted Ph, etc., or R4R5 forms (un) substituted 5-membered carbo- or heterocyclic ring; R6, R7, R8 = H, alkyl]. Compds. I are 5-HTZC receptor antagonists, and some or all of them are also 5-HTZB antagonists. They are useful in the treatment of a variety of CNS and G1 disorders. They are useful in the treatment of a variety of CNS and G1 disorders. They are useful in the treatment of solunderwent sulfurization in the 6-position by thioures (07%) and 5,0-dimethylation with MeI (50%) to give Me 3-chloro-2-(methylthio)pyridine-5-carboxylate. This was converted to the corresponding hydrazide (32%) and then the carbonyl azide (72%). The latter was decomposed in refluxing PhMe, and the intermediate isocyanate treated with 3-aminopyridine, to give 85% title compound II. The three example compds. had pKi of 7.4-8.1 in a test for displacement of

ANSWER 26 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

#30 C(0)495

or pharmaceutically acceptable salts claim 1 additional ring formation is allowed

ANSWER 27 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued) [3H]-mesulergine from rat or human 5-HT2C clones, expressed in 293 cells in vitro.

G1-G6-C (0)-G8

= quinolinyl (SO (1) G2) = OH = NH = 66

G13 DER: MPL: NTE:

or salts
claim 1
additional ring formation specified

L5 ANSWER 28 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
TITLE:
Inage-receiving element for silver salt diffusion
transfer process
INVENTOR(S):
Horie, Seitaror Waki, Kokichir Oono, Shigeru
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
DOCUMENT TYPE:
LANGUAGE:
FAMILIF ACC. NUM. COUNT:
Japanese
FAMILIF ACC. NUM. COUNT:
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE

JP 06161069 A2 19940607
PRIORITY APPLN. INFO.:
GI APPLICATION NO. JP 1992-329857 JP 1992-329857 19921117

In the title image-receiving element which is used with a photog. element and a developing solution for image formation with 1 of them containing a specified 4-imidazolinethion compound, a compound [RO-4 = H, monovalent

group;
R5,6 = H, alkyl, aryl, heterocyclyl; R3 and R5, R5 and R6, or R6 and R4
may form a 5- or 6-membered ring] is contained in a layer which also
contains a cellulose ester or regenerated cellulose. Brightness is
improved.

= 59 G1

-C (0)-NH---G9 **99**

= Ph = 3-4 6-5

L5 ANSWER 29 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
TITLE:
Hedicaments for treatment of migraine, epilepsy and feeding disorders
Blackburn, Thomas Paul, Kennett, Guy Anthony; Baxter, Gordon Smith
PATENT ASSIGNEE(S):
SOURCE:
PATENT TYPE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | PA: | ENT : | NO. | | KI | ND | DATE | | | A | PPLI | CATI | ои и | ٥. | DATE | | | |
|------|-----|-------|-----|------|-----|-----|------|------|-----|-----|------|------|------|-----|------|------|-----|-----|
| | | | | | | | | | | - | | | | | | | | |
| | WO | 9425 | 012 | | Α | 2 | 1994 | 1110 | | W | 0 19 | 94-E | P124 | 0 | 1994 | 0420 | | |
| | WO | 9425 | 012 | | A | 3 | 1994 | 1222 | | | | | | | | | | |
| | | W: | AT, | AU, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CZ, | DE, | DK, | ES, | FI, | GB, | GE, |
| | | | ΗU, | JP, | KG, | KP, | KR, | ΚZ, | LK, | LU, | LV, | MD, | MG, | MN, | MW, | NL, | NO, | NZ, |
| | | | PL, | PT, | RO, | RU, | SD, | SE, | SI, | SK, | TJ, | TT, | UA, | US, | UZ, | VN | | |
| | | RW: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, |
| | | | BF, | BJ, | CF, | CG, | CI, | CM, | GA, | GN, | ML, | MR, | NE, | SN, | TD, | TG | | |
| | ΑU | 9465 | 697 | | A | 1 | 1994 | 1121 | | A | U 19 | 94-6 | 5697 | | 1994 | 0420 | | |
| | ZA | 9402 | 809 | | A | | 1995 | 1023 | | Z | A 19 | 94-2 | 809 | | 1994 | 0422 | | |
| PRIO | RIT | APP | LN. | INFO | . : | | | | | G | B 19 | 93-8 | 802 | | 1993 | 0428 | | |
| | | | | | | | | | | W | 0 19 | 94-E | P124 | 0 | 1994 | 0420 | | |

Indoles such as 1-[5-(2-thienylmethoxy)-IN-indol-3-yl]propan-2-amine are used in the treatment and prevention of epilepsy and migraine.

quinolinyl (SO (1) G2) OH

or pharmaceutically acceptable salts

claim 2 substitution is restricted

LS ANSWER 28 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

MPL: claim 1

L5 ANSWER 30 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 122:42827 MARPAT
TITLE: Photothermographic materials.
Kirk, Mark P., Mott, Andrew W.
Minnesota Hning and Hanufacturing Co., USA
SOURCE: COLEN: EPXXLW
DOCUMENT TYPE: LANGUAGE: Pat. Appl., 15 pp.
COLEN: EPXXLW
FALL APPL., 15 pp. DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: KIND DATE

A1 19940713
B1 19960221
DE, ES, FR, GB, IT, NL
AA 19940707
A 19941220
T3 19960416
A2 19950110
A 19940727
A 19940802
A 19950711
INFO,: APPLICATION NO. DATE PATENT NO. EP 1993-310237 19931217

A compound is described of the formula I in which R represents a H atom, an alkyl group, an aryl group or a heterocyclic group, any of which groups may be substituted. The compds. find utility as antifoggants and image stabilizers in photothermog, materials.

G1 H-Br Br-Br

= OH / 91

LS ANSWER 30 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

-C (0)-NH--Me

5 ANSWER 32 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
CCESSION NUMBER:
11LE: Heteroary! Ureas as 5-HT2c and 5-HT2b Antagonists
Forbes, Ian Thomson: Martin, Roger Thomas; Jones,
Graham Elgin
ATENT ASSIGNEE(S):
OURCE: SmitkNine Beecham PLC, UK
PCT Int. Appl., 30 pp.
COUMENT TYPE: CODEN: PIXXD2
OCUMENT TYPE: Brylish
AMGUAGE: English
AMILY ACC. NUM. COUNT:
1
ATENT INFORMATION: L5 ANSWER 3 ACCESSION NUM TITLE: INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DALL

WO 9414801 A1 19940707 WO 1993-EP3666 19931221

W: JP, US

RW: AT, EE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: GE 1992-27048 19921229

GB 1993-4414 19930304

GB 1993-6459 19930329

Heterocyclic urea derivs. I (P = quinolinyl, isoquinolinyl, heteroaryl, etc., J = quinolinyl, tetrahydroquinolinyl, indolinyl, indazolyl, benzohienyl, etc., Rl = H, alkyl, etc., R2 = H, alkyl) were disclosed. I were claimed for the manufacture of antidepressants, anxiolytics, for the treatment of Alzheimer's disease, bullmin, obsessive-compulsive disorders, schizophrenia, etc. I are 5-HT2c or 5-HT2b antagonists. Specifically claimed example compeds are N-(5-Benzo(b)thieayl)-N'-(3-pyridinyl)urea (III) and N-(1-Hethyl-5-indazolyl)-N'-(3-pyridinyl)urea (III).

- NH
- quinolinyl (SO (1) G4)
- OH
- quinolinyl (SO (1-2) G6)
or salts

L5 ANSWER 31 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 121:255671 MARPAT
TITLE: Preparation of N-phenyl-N'-heteroarylureas as SHT2C receptor antagonists
INVENTOR(S): Forbes, Ian Thomson; Ham, Peter; Martin, Roger Thomas; Thompson, Hervyn
PATENT ASSIGNEE(S): SaithKline Beechsm PLC, UK
PCT Int. Appl., 28 pp.
CODEN: FIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9418170 A1 19940818 WO 1994-EF189 19940125

W: JP, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

EP 682656 A1 19951122 EP 1994-905697 19940125

R: BE, CH, DE, FR, GB, IT, LI, NL

JF 08506114 T2 19960702 JP 1994-517583 19940125

PRIORITY APPLN. INFO:: GB 1993-2275 19930205

AB RINR2CONR3R4 (R1 = (un) substituted (io) quinoliny, -beteroaryl, R2, R3 = H, alkyl, R4 = (un) substituted Ph) were prepared Thus, nicotincyl azide was refluxed in PhMe after which 3,4-clMecGH3NM2 was added to give, after acidification, 3,4-clMecGH3NH2was added to give, after acidification, 3,4-clMecGH3NHCWAS as added to give, after acidification, 3,4-clMecGH3NHCWAS ACID (R1 = 3-pyridyl) which had ID50 of 78mg/kg orally against mCPP-induced hypolocomotion in rats.

G1-G5-C(0)-G5-G6

- quinoliny1 (SO (1) G2) - OH - NH - Ph (SO (1-3) G7)

or salts claim 1

L5 ANSWER 32 OF 44 MARPAT COPYRIGHT 2005 ACS on STN MPL: claim 1 ... (Continued)

L5 ANSWER 33 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 120:231771 MARPAT
TITLE: Direct-positive color photographic material and development thereof
(Organa, Takashir One, Michio Fuji Photo Film Co Ltd, Japan
Jon. Kokai Tokkyo Koho, 80 pp.
CODEN: JKOXAF
DOCUMENT TYPE: JAMEUN ACC. NUM. COUNT: Patent INFORMATION: 1 PATENT NO. KIND DATE APPLICATION NO. DATE

JP 05072667 A2 19930326 JP 1991-263144 19910913

PRIORITY APPIN. INFO.:

AB The title photog. material, comprises 21 blue-, green-, and red-sensitive layers of internal latent imaging-type Ag halide grains which are not prefogged, wherein the red-sensitive layer(s) contains a cyan coupler I (Q = moiety needed to complete a N-containing 5-membered ring) , Z = H, group capable of being released by coupling with an oxidized color developing agent: R = acyl, sulfonyl; Rl = H, Cl-8 aliphatic group; R and Rl together may form a ring). The title photog, material is developed using a compound II (R2 = alkyl; R3 = alkylene; R2 and R3 together may form a ring).

332(0)-G21-G22 - NH (SO) - Hy<EC (1-) Q (0-) O (0-) N (0-) S (0-) P (0-) Se (0-) Te (0) OTHERQ> (SO) or dimers G21 G22 DER:

L5 ANSWER 34 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:
TITLE:
Preparation of indolylurea derivatives as antagonists
FOCHS, Ian Thomson: Martin, Roger Thomas; Jones,
Graham Elgin

PATENT ASSIGNEE(S):
SOURCE:
PATENT ASSIGNEE(S):
SOURCE:
CODEN: PIXXD2
PATENT TYPE:
LANGUAGE:
PATENT INFORMATION:

= 23-2 20-1 -c (o)-cir-2g-

= 332

₩-G19

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9318028 A1 19930916 WO 1993-GB449 19930304

W: AU, CA, JP, KR, NZ, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

AU 9336411 a1 19931005 AU 1993-36411 19930304

ER: BE, CH, DE, FR, GB, IT, LI, NL

JP 07504429 T2 19950518 JP 1993-915507 19930304

ZA 9301713 A 19940922 ZA 1993-1743 19930310

US 5502288 A 19960416 US 1994-295694 19940830

PRIORITY APPLN. INFO:: GB 1992-5416 19920312 PP 1993-90000.

NL

JP 1993-515449

2A 1993-1713

US 1994-295694

GB 1992-5415

GB 1992-5416

GB 1992-5422

GB 1992-5424

WO 1993-GB449 19930304 19930310 19940830 19920312 19920312 19920312 19920312 19930304

Title compds. I (P = quinolinyl, isoquinolyl, 5,6-membered heterocyclyl; Rl = H, Cl-6 alkyl; R2, R3, R10, R11 = C2-6 alkylene; R4 = H, Cl-6 alkyl; halo, R8R9N, R120, R1202C wherein R8, R9, R12 = H, Cl-6 alkyl; R5, R6 = H, Cl-6 alkyl; R7 = H, Cl-6 alkyl; R8 = H, Cl-6

L5 ANSWER 33 OF 44 MARPAT COPYRIGHT 2005 ACS on STN MPL: claim 1 (Continued)

L5 ANSWER 34 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

= 406-1 399-3

J406

- 444-5 439-18

L5 ANSWER 35 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 118:201976 MARPAT
ITILE: Azo compound and photoconductor therefrom
INVENTOR(S): Ito, Neotor Oguchi, Takahisar Karasawa, Akio
Mitsui Toatsu Chemicals, Inc., Japan
SURCE: JONEY TYPE: ODEN: JONGAF
DOCUMENT TYPE: Patent
LANGUAGE: Japan Sapanese
FMHILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 04225068 JP 2979024 PRIORITY APPLN. INFO.: 19920814 19991115 JP 1990-414697 19901227 A2 B2 JP 1990-414697 19901227

$$Ar^{1} = N - Y^{3} = N$$

AB An azo compound is represented by I [Arl = 2-4-valent bonding moiety; Ar2 = aromatic hydrocarbyl, aromatic heterocyclyl, CONHR', CSNHR', NHR' (R' = aromatic

stic
hydrocarbyl); X = atoms required for forming an aromatic hydrocarbon ring; R
= alkyl, aromatic hydrocarbyl, aromatic heterocyclyl; Y1 = CO, COO, CONH;

NH, NHCONH, NHCSNH, NHNH; Y3 = H, OH, and n = 2-4). A photoconductor useful for an electrophotog, photoreceptor contains I as a charge-generating substance.

MSTR 1A

G2 - 91

L5 ANSWER 36 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
TITLE:
Hethod of processing silver halide color photographic material
INVENTOR(S):
FATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
TOPPROMATION:

HARPAT COPYRIGHT 2005 ACS on STN
ACCESSION ACCESSING SILVER halide color photographic material for the phot

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | KIND DA | TE A | PPLICATION NO. | DATE |
|--------------------|-----------|----------|----------------|----------|
| | | | | |
| EP 479262 | A1 19 | 920408 E | 1991-116803 | 19911001 |
| EP 479262 | | 970813 | | |
| R: BE. DE. | FR, GB, I | T, NL | | |
| JP 04362944 | | | 1991-255567 | 19911002 |
| JP 3049869 | B2 20 | 000605 | | |
| US 5342740 | A 19 | 940830 U | 1991-769684 | 19911002 |
| ORITY APPLN. INFO. | . : | J | 1990-264451 | 19901002 |
| | | | | |

A method of processing a color photog. material, containing photosensitive

halide emulsion layer containing a AgCl content of 280 molt comprises the steps of color developing the photog. material and then bleach-fixing which replenishing the bleach-fixing solution as the photog, material is processed by adding a regenerated bleach-fixing replenisher and collecting the resulting overflow solution from the bleach-fixing tank. The

regenerated

bleach-fixing replenisher comprises a regenerating agent and the overflow solution from the bleach-fixing tank, and the solids content of the regenerating agent is ≥70 wt% of the total weight of the regenerating agent. Repeated reuse of the used bleach-fixing solution as a replenisher

achieved without adversely affecting the desilvering property and color reproducibility of the processing solution. The method provides excellent photog, images having good storage stability. Preferred cyan coupler to be used with the method is also described with a Markush structure.

G1 = NHPh (SO) G2 +G3 = 73-4 70-5

L5 ANSWER 35 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

- NHCONH claim 1

L5 ANSWER 36 OF 44 MARPAT COPYRIGHT 2005 ACS on STN MPL: claim 17 (Continued)

L5 ANSUER 37 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 117:111638 MARPAT

TITLE: Preparation of piperidinyl benzimidazolyl ketones and related compounds as antihistaminics

Janssens, Frans Eduard; Diels, Gaston Stanislas Marcell: Sommen, Francois Maria

Janssen Pharmaceutica N. V., Belg.

SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9206086 A1 19920416 WO 1991-EP1782 19910917

W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US

RW: AT, BB, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, 1T, LU, ML, MR, NL, SE, SN, TD, TG

AU 9185057 A1 19920428 AU 1991-85067 199010917

PRIORITY APPLN. INFO:: US 1990-590716 19901001

GI

GI

The title compds. [1, A1:A2A3:A4 = (un)substituted CH:CRCH:CH, N:CHCH:CH, N:CHCH:CH, etc.; m = 1-4; n = 0-2; R1 = aryl, DR2; D = 0, S; R2 = (un)substituted C1-6 alkyl; L = H, C1-12 alkyl(carbonyl), C3-6 cycloalkyl, (aryl)C3-6 alkenyl, Alk-R3, Alk-R4, etc.; R3 = cyano, aryl, heterocyclyl; R4 = H, aryl, heterocyclyl, (un)substituted C1-6 alkyl; Alk = C1-6 alkylen; Y = 0, S; NR7; R7 = H, C1-6 alkyl (carbonyl) or their stereoisomers and pharmaceutically acceptable acid addition salts, effective antihistaminics (no data) useful in the treatment of, e.g., allegic rhinitis, conjunctivitis, asthma, and chronic urticaris, were prepared A solution of 2-MeO2CCGH4NCS in THF was added dropwise to a stirred mixture of 1-(2-aminoethyl)-4-piperidinyl 1-[(4-fluorophenyl)methyl]-III-benzimidazol-2-yl ketone (preparation given) and THF and the whole stirred for 2 h at the

L5 ANSVER 38 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:
TITLE:

New pyrrolobenzimidazoles, imidazobenzoxazinones and imidazoquinolones
INVENTOR(S):

Paal, Michael, Stenzel, Wolfgang, Brueckner, Reinhard, Armah, Ben Dr

PATENT ASSIGNEE(S):

Beiersdorf A.-G., Germany
Ger. Offen. 16 pp.
CODEN: GWXXEX

CODEN: GWXXEX

German

FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PA' | TENT NO. | | KIND | DATE | | APPLICATION NO. | DATE |
|---------|----------|-------|--------|-----------|-----|--------------------|----------|
| | | | | | | | |
| DE | 4027592 | | A1 | 19920305 | | DE 1990-4027592 | 19900831 |
| EP | 473963 | | A1 | 19920311 | | EP 1991-113388 | 19910809 |
| | R: AT | , BE, | CH, DE | , DK, ES, | FR, | GB, IT, LI, NL, SE | |
| ZA | 9106433 | | A | 19920527 | | ZA 1991~6433 | 19910814 |
| AU | 9182515 | | A1 | 19920305 | | AU 1991-82515 | 19910815 |
| CA | 2049490 | | AΑ | 19920301 | | CA 1991-2049490 | 19910819 |
| JP | 0424708 | 3 | A2 | 19920903 | | JP 1991-238822 | 19910827 |
| US | 5212186 | | Α | 19930518 | | US 1991-750372 | 19910827 |
| PRIORIT | Y APPLN. | INFO. | : | | | DE 1990-4027592 | 19900831 |
| ~ * | | | | | | | |

Title compds. I (X = bond, CH2, O; XR = CH; Z = 0, S; R, Rl = H, aliphatic; R2 = H, alkyl; R3 = NHCN, d-difluoromethoxy-3-pyridyl, CH2NO2, CH2CH2NO2) were prepared Thus, 5, 6-diamino-3, 3-dimethylindolin-2-one was treated with NCN:C(OPh)2 to give 44% pyrrolobenzimidazolone II. At l mg/kg i.v. in cats II increased cardiac contractility by 67%, increased heart rate by 8 units and decreased arterial pressure by 15 units.

ANSWER 37 OF 44 MARPAT COPYRIGHT 2005 ACS on STN ambient temp. to give title compd. II. (Continued)

alkyl<(1-6)>
0
123

123 G17

= 151-1 154-135

G25 - 254

DER:

or pharmaceutically acceptable salts claim 1 substitution is restricted also incorporates claim 8 or isomeric forms MPL: NTE: NTE: STE:

L5 ANSWER 38 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

G6 MPL: - O claim 7

L5 ANSWER 39 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 116:106795 MARPAT
ITILE:
INVENTOR(5): Preparation of fluorogenic tryptophenase substrates
Mize, Patrick D.
Becton, Dickinson and Co., USA
U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

EMPLY MIXEDHATION: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

US 5055594 A 19911008 US 1990-554506 19900719
CA 2043124 C 19951212
AU 9178026 A1 19920123 AU 1991-78026 19910527
CA 2043124 C 19951212
AU 9378026 A1 19920123 AU 1991-78026 19910529
AU 631102 B2 19921112
NO 9102413 A 19920120 NO 1991-2413 19910620
NO 302243 B1 19980209
EP 467318 B1 19950426
R: AT, BE, CH, DE, NK, ES, FR, GB, GR, IT, LI, LU, NL, SE
AT 121791 E 19950415 AT 1991-111918 19910717
FJ 9103460 A 19920120 FJ 1991-311918 19910717
FJ 9103460 A 19920120 FJ 1991-3460 19910718
FJ 97152 B 19960715
FJ 97152
FJ 97152 B 19960715
FJ 97152
FJ 9

MSTR 1

L5 ANSWER 40 OF 44
ACCESSION NUMBER:
111LE:
Method for processing silver halide color photographic material
INVENTOR(5):
INVENTOR(5):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INSURATION:
FAMILY ACC. NUM. COUNT:
1

MARPAT COPYRIGHT 2005 ACS on STN

115:60758 MARPAT
Mathod for processing silver halide color photographic material
Inventor(5):
FAMILY ACC. NUM. COUNT:
1

MARPAT COPYRIGHT 2005 ACS on STN

115:60758 MARPAT
MARPAT MARPAT
MARPAT COPYRIGHT 2005 ACS on STN

115:60758 MARPAT
MARPAT MARPAT
MARPAT COPYRIGHT 2005 ACS on STN

115:60758 MARPAT
MARPAT COPYRIGHT 2005 ACS on STN

105:60758 MARPAT
MARPAT COPYRIGHT 2005 ACS on STN

115:60758 MARPAT
MARPAT COPYRIGHT 2005 ACS on STN

105:60758 M

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | PATENT NO. | | | | KIND | DATE | API | APPLICATION NO. | | |
|------|------------|-------|------|------|--------|----------|-----|-----------------|----------|--|
| | | | | | | | | | | |
| | ΕP | 4092 | 76 | | A1 | 19910123 | EP | 1990-113977 | 19900720 | |
| | EP | 4092 | 76 | | B1 | 19970319 | | | | |
| | | R: | | | FR, GB | , IT, NL | | | | |
| | JΡ | 0312 | 1451 | | A2 | 19910523 | JP | 1990-190742 | 19900720 | |
| | US | 5139 | 929 | | A | 19920818 | US | 1990-555016 | 19900720 | |
| PRIO | RIT | Y APP | T.N | INFO | | | JP. | 1989-187475 | 19890721 | |

A method for processing an exposed Ag halide material containing >1 cyan coupler having the general formula I (R1 = alkyl, cycloalkyl, aryl, amino, or a heterocyclic group; R1 = H, halogen, or a coupling-off group; R3 = acylemino or alkyl having >2 C atoms; R4 = H, halogen, alkyl, or alkoxy; R3 and R4 = H, halogen, alkyl, or alkoxy; R3 and R4 may be linked to form a ring) comprises the steps of: (a) color developing; (b) bleach-fixing; (c) washing; (d) stabilizing; (e) regenerating a portion of the solution used in the bleach-fixing step to form a replenisher solution comprising >1 carbonyl bisulfite adduct; and (f) replenishing the bleach-fixing solution with the replenisher solution. The method does not

edesilvering problem and hardly deteriorates image preservation, even when the bleach-fixing solution, in which the spent solution (overflow) is added

replenisher, is repeatedly used.

L5 ANSWER 39 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

- 45

L5 ANSWER 40 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G1 = NHPh (SO) G2 +G3 = 78-1 81-2

ਜੋਮੈ—-c (o)-cн=<u>-</u>cн

MPL: claim 19

L5 ANSWER 41 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 115:60716 MARPAT
ITILE: 251Ver halide color photographic material
Ogava, Tadashi
PATENT ASSIGNEE(S): 50URCE: 5URCE: 5URCE

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE EF 371325 Al 19900506
EF 371325 Bl 19970212
R: DE, FR, GB, IT, NL
JP 02135339 A2 19900524
JF 07111565 B4 19951129
US 5405735 A 19950411
PRIORITY APPLN. INFO.: EP 1989-121154 19891115 JP 1988-289704 19881116 US 1993-123043 JP 1988-289704 US 1989-436860 US 1991-758545 US 1992-921362 19930920 19881116 19891115 19910909 19920728

GΙ

A multilayer color photog, material contains a magenta coupler from a 2-equivalent 5-pyrazolone or pyrazoloazole compound and a nonphotosensitive layer containing a compound having the formula I (RI, R2 - H or a precursor which is cleaved under alkaline conditions to form a H atom R1 and R3

which is cleaved under alkaline conditions to alk and a second ring by bonding OR1 with R3 and/or R2 and R4 may be combined to form a closed ring by bonding OR1 with R3 and/or OR2 with R4, resp., to form -COCCH2CH2-7, R3-6 - H, halogen, alkenyl, aryl, cycloalkyl, etc., R1 and R2 must not be H atoms at the same time; at 2.75 + 10-4-1.5 + 10-3 mol/M2. The nonphotosensitive layer is provided between the yellow coupler- and magenta coupler-containing Ag halide emulsion layers. The material has excellent color reproducibility and rapid processability and produces images of improved storage stability.

MSTR 5

L5 ANSWER 42 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
TITLE:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
OCCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INCROPARATION:
ENGINEER 12 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
113:115556 MARPAT
Chromogenic and fluorogenic silylalkylcoumarins
Arkles, Barry C.
4 Fpr. Cont., USA
U.S., 4 pp. Cont., USA
U.S., 4 pp. Cont., in-part of U.S. Ser. No. 631,036,
abandoned.
CODEN: USXXAM
English
English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

. KIND DATE PATENT NO. APPLICATION NO. DATE US 4918200
PRIORITY APPLM. INFO.:
OTHER SOURCE(S):
G1 US 1987-4713 19870120 US 1984-631036 19840716 CASREACT 113:115556

ClMe2Si (CH2) 30

RyRlz Si(CH2)nLR2 [I, R = halo, alkoxy, He2N; R1 = alkyl, Fh; L = 0, NC02 NCON; R2 = (substituted) coumaryl; n = 1-8; y = 1-3; Z = 0-2; y + z = 3], usaful for derivatization of protio materials, were prepared Thus, 4-methyl-7-allyloxycoumarin (preparation given) Me2ClSiH, and H2PtCl6 (0.1

THF) in PhMe was heated to 140° at 40 psi for 15 h to give chlorosilane II.

- 12-6 14-8

-с (о)-ун

L5 ANSWER 41 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G1 = NH2 (SO (1-) G6) G6 = Ph G2 +G3 = 27-1 30-2 / 30-1 27-2

and dimers or higher polymers claim 10

L5 ANSWER 42 OF 44 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

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L5 ANSWER 43 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
111:174009 MARPAT
Preparation and formulation of dihydrodibenzoxepins and analogs as thromboxane A2 antagonists
Oshima, Etsuor Obase, Hirroyukir Karasawa, Akira; Kubo, Kazuhiro; Miki, Ichiro; Ishii, Akio
Kazuhiro; Miki, Ichiro; Ishii, Akio
SOURCE:
SOURCE:
CODEN: EFXXDW
DOCUMENT TYPE:

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                  DOCUMENT TYPE:
                                                                                                                                                                                                                                                                                                                                                                          Patent
English
1
              LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                                                                                                                                                                                                                                 KIND DATE
                                                                                     PATENT NO.
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             APPLICATION NO. DATE
                                                                             PATENT NO. KIND DATE

EP 312051 A2 19890419
EP 312051 A3 19900704
EP 312051 B1 19940817
R: DE, FR, GB, IT

JP 02000250 A2 19900105
US 4882351 A 19891121
US 50110104 A 19910423
US 50110104 A 19910423
US 5010087 A 19910423
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             EP 1988-117024
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               19881013
EF 312051 B1 19940817

R: DE, FR, GB, IT

JP 02000250 A2 19900105 JP 1988-224052 19880907

US 4882351 A 19991121 US 1988-255485 19881011

US 5010087 A 19910423 US 1989-372771 19890629

US 5010087 A 19910423 US 1989-381330 19890718

PRIORITY APPIN. INFO.: JP 1987-259145 19871014

US 1988-255485 19871014

OTHER SOURCE(S): CASREACT 111:174009

GI Ford diagram(s), see printed CA Issue.

AB The title compds. I [XIX2 = CH2O, CH2SO1, CH2CH2, etc., l = 0-2, L = CH:CH, S; dotted line represents either single or double bond; W = S, O, NH, CH2, NHCO, etc., r = 0-3; Z = NRICO, NRISO2, NRICONH, etc., Rl = H, lower alkyl; Q = CI-18 alkyl, C3-6 alicyclic alkyl; C2-6 alkeyl, etc., one of RA and RB is H, the other is YM; Y = single bond, CR3R4(CH2)m, etc., R3, R4 = H, lower alkyl; m = 0-4; M = CO2R5, tetrazolyl, etc., R5 = H, lower alkyl; GA, GB = lower alkyl; nalo, etc.; gA, gB = 0-3], were prepared Reaction of Me

11-(2-aminoethyl)thio-6, 11-dihydrodibenz(b, e) oxepin-2-carboxyliate with PhSOC2(f, followed by saponification, gave 11-[2-([phenylsulfonyl)amino] ethyl]thio-6, 11-dihydrodibenz(b, e) oxepin-2-carboxyliate with PhSOC2(f, followed by saponification, gave 11-[2-([phenylsulfonyl)amino] ethyl]thio-6, 11-dihydrodibenz(b, e) oxepin-2-carboxyliate with PhSOC2(f, followed by saponification, gave 11-[2-([phenylsulfonyl)amino] ethyl]thio-6, 11-dihydrodibenz(b, e) oxepin-2-carboxyliate with PhSOC2(f, followed by saponification, gave 11-[2-([phenylsulfonyl)amino] ethyl]thio-6, 11-dihydrodibenz(b, e) oxepin-2-carboxyliate with PhSOC2(f, followed by saponification, gave 11-[2-([phenylsulfonyl)amino] ethyl]thio-6, 11-dihydrodibenz(b, e) oxepin-2-carboxyliate with PhSOC2(f, followed by saponification, gave 11-[2-([phenylsulfonyl)amino] ethyl]thio-6, 11-dihydrodibenz(b, e) oxepin-2-carboxyliate with PhSOC2(f, followed by saponification, gave 11-[2-[[phenylsulfonyl)amino] ethyl for fill for
```

0.3 μ g/mL against platelet aggregation induced by 9,11-dideoxy-9a,11-dideoxy-9a,11a-methanoepoxyprostaglandin FZa. Tablets containing II 200, lactose 60, starch 30, polyvinyl alc. 2, Mg stearate 1 mg and tar pigment (trace) were prepared

MSTR 1B

L5 ANSWER 44 OF 44 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
109:201292 MARPAT
Silver halide color photographic material containing cyan couplers with improved light and heat resistance Horigaki, Masakazur Aoki, Kozo
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
DOCUMENT TYPE:
Patent
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------|------|----------|-----------------|----------|
| | | | | |
| JP 63085547 | A2 | 19880416 | JP 1986-230854 | 19860929 |
| US 4929538 | A | 19900529 | US 1987-102511 | 19870929 |
| US 5178991 | A | 19930112 | US 1991-638031 | 19910107 |
| IORITY APPLN. INFO. | : | | JP 1986-230854 | 19860929 |
| | | | US 1987-102511 | 19870929 |
| | | | IIS 1000-325425 | 10000317 |

OTHER SOURCE(S):

CASREACT 109:201292

GI For diagram(s), see printed CA Issue.

AB The title material contains ≥1 cyan couplers represented by (I) (Q1 = moiety necessary to form N- and C-containing ≥5 membered ring; Z1 = H, moiety capable of being released through reaction with an oxidation product of a color developing agent; R1 = acyl, sulfonyl; R2 = H, CS8 aliph; and R1, R2, A1, and Q1 may form a dimer or polymer coupler), and ≥1 compds. represented by (I1) and (III) (,R3 = H, aliphatic, aromatic, heterocyclyl, protective moiety capable of hydrolysis; R4-R8 = H, substituent; R9 = H, aliphatic, acyl, sulfonyl, sulfinyl, oxy radical, OH; A = nonmetal moiety necessary to form 5-7 membered ring; R10-R13 = H, alkyl; R3-R8 may form 5-7-membered ring by linking with neighboring moiety at o-position; and R9-R13 may form 5-7-membered ring by linking with neighboring moiety at o-position). Cyan couplers in this material have improved light and heat resistance.

= 40-5 43-4

L5 ANSWER 43 OF 44 MARPAT COPYRIGHT 2005 ACS on STN

- CH2 - 30-18 32-26

0 95 / 96 / 97 / 100 / 103 / 104

DER: and pharmaceutically acceptable salts MPL: NTE: substitution is restricted

L5 ANSWER 44 OF 44 MARPAT COPYRIGHT 2005 ACS on STN 148^{(0)-G15}

G15

or dimer or trimer claims